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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	DEC 01	ChemPort single article sales feature unavailable
NEWS	3	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS	4	APR 07	STN is raising the limits on saved answers
NEWS	5	APR 24	CA/CAplus now has more comprehensive patent assignee information
NEWS	6	APR 26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS	7	APR 28	CAS patent authority coverage expanded
NEWS	8	APR 28	ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS	9	APR 28	Limits doubled for structure searching in CAS REGISTRY
NEWS	10	MAY 08	STN Express, Version 8.4, now available
NEWS	11	MAY 11	STN on the Web enhanced
NEWS	12	MAY 11	BEILSTEIN substance information now available on STN Easy
NEWS	13	MAY 14	DGENE, PCTGEN and USGENE enhanced with increased limits for exact sequence match searches and introduction of free HIT display format
NEWS	14	MAY 15	INPADOCDB and INPAFAMDB enhanced with Chinese legal status data
NEWS	15	MAY 28	CAS databases on STN enhanced with NANO super role in records back to 1992
NEWS	16	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items

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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:39:55 ON 15 JUN 2009

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                             ENTRY    SESSION
FULL ESTIMATED COST                                           0.22       0.22

FILE 'REGISTRY' ENTERED AT 07:40:02 ON 15 JUN 2009
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STRUCTURE FILE UPDATES:   14 JUN 2009  HIGHEST RN 1157585-76-6
DICTIONARY FILE UPDATES:  14 JUN 2009  HIGHEST RN 1157585-76-6

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10575177 propyl.str
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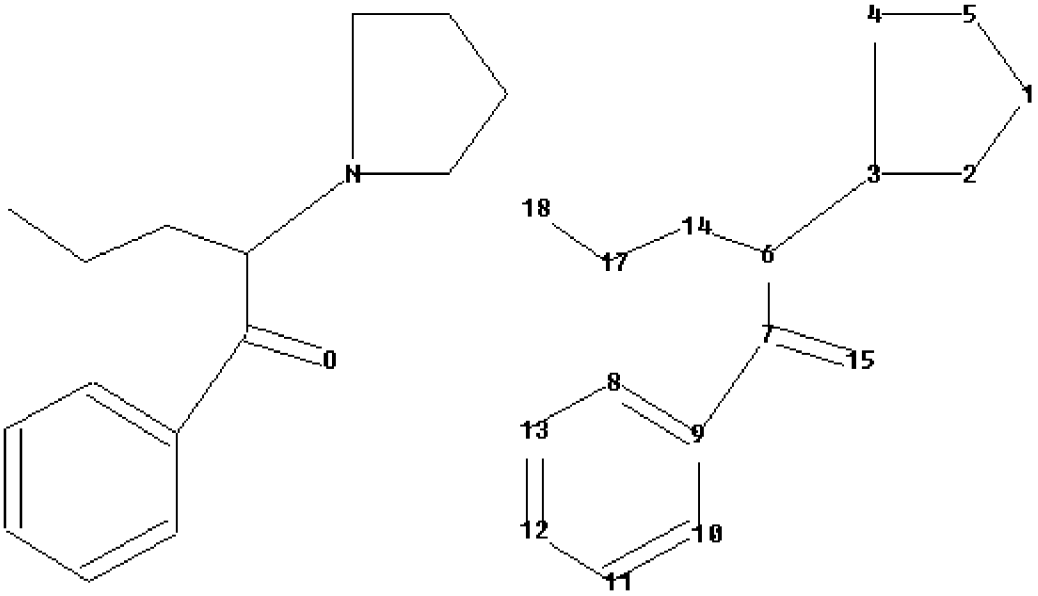


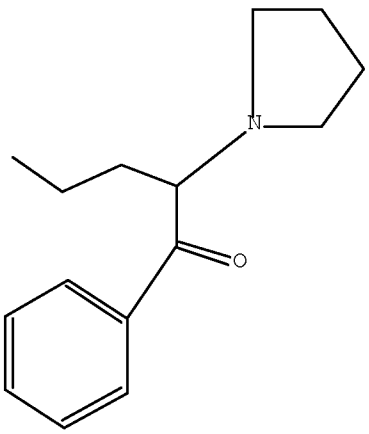

```
chain nodes :
6  7  14  15  17  18
```

ring nodes :
1 2 3 4 5 8 9 10 11 12 13
chain bonds :
3-6 6-7 6-14 7-9 7-15 14-17 17-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
2-3 3-4 3-6 7-15
exact bonds :
1-2 1-5 4-5 6-7 6-14 7-9 14-17 17-18
normalized bonds :
8-9 8-13 9-10 10-11 11-12 12-13
isolated ring systems :
containing 1 : 8 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 17:CLASS 18:CLASS

L1   STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1   STR



Structure attributes must be viewed using STN Express query preparation.

=> file caplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                         ENTRY   SESSION
FULL ESTIMATED COST   0.48   0.70

FILE 'CAPLUS' ENTERED AT 07:40:16 ON 15 JUN 2009
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FILE COVERS 1907 - 15 Jun 2009 VOL 150 ISS 25
FILE LAST UPDATED: 14 Jun 2009 (20090614/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s L1 SSS full
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:40:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3420 TO ITERATE

100.0% PROCESSED 3420 ITERATIONS 113 ANSWERS
SEARCH TIME: 00.00.01

L2 113 SEA SSS FUL L1

L3 65 L2

=> s L3 AND PY<=2003
24035591 PY<=2003
L4 55 L3 AND PY<=2003

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 55 ANSWERS - CONTINUE? Y/(N):y

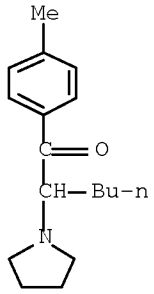
L4 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:325857 CAPLUS Full-text
DOCUMENT NUMBER: 139:223651
TITLE: New designer drug
4'-methyl-α-pyrrolidinohexanophenone: studies on

its metabolism and toxicological detection in urine using gas chromatography-mass spectrometry
AUTHOR(S): Springer, Dietmar; Peters, Frank T.; Fritschi, Giselher; Maurer, Hans H.
CORPORATE SOURCE: Institute of Experimental and Clinical Pharmacology and Toxicology, Department of Experimental and Clinical Toxicology, University of Saarland, Homburg, (Saar), D-66421, Germany
SOURCE: Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2003), 789(1), 79-91
CODEN: JCBAAI; ISSN: 1570-0232
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

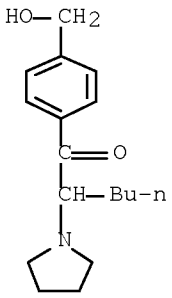
AB R,S-4'-Methyl-α-pyrrolidinohexanophenone (MPHP) is a new designer drug which has appeared on the illicit drug market. The aim of this study was to identify the MPHP metabolites using solid-phase extraction, ethylation or acetylation, as well as to develop a toxicol. detection procedure in urine using solid-phase extraction, trimethylsilylation and GC-MS. Anal. of urine samples of rats treated with MPHP revealed that MPHP was completely metabolized by hydroxylation of the tolyl Me group followed by dehydrogenation to the corresponding carboxylic acid, hydroxylation of the side chain, hydroxylation of the pyrrolidine ring with subsequent dehydrogenation to the corresponding lactam and/or reduction of the keto group. The carboxy and/or hydroxy groups were found to be only partly conjugated. Based on these data, MPHP could be detected in urine via its metabolites by GC-MS using mass chromatog. for screening and library search for identification.

IT 34138-58-4D, metabolites 591773-65-8 591773-66-9
591773-67-0 591773-68-1 591773-69-2
592518-52-0 592518-53-1 592518-54-2
592518-55-3 592518-56-4
RL: ANT (Analyte); ANST (Analytical study)
(metabolism of designer drug 4'-methyl-α-pyrrolidinohexanophenone and toxicol. detection in urine using GC-MS)

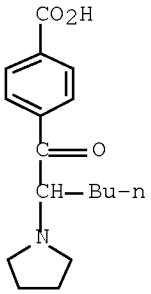
RN 34138-58-4 CAPLUS
CN 1-Hexanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



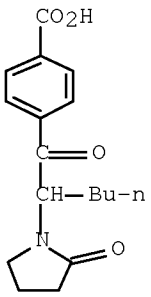
RN 591773-65-8 CAPLUS
CN 1-Hexanone, 1-[4-(hydroxymethyl)phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



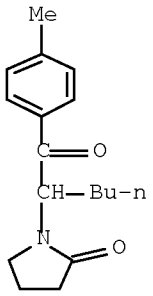
RN 591773-66-9 CAPLUS
CN Benzoic acid, 4-[1-oxo-2-(1-pyrrolidinyl)hexyl]- (CA INDEX NAME)



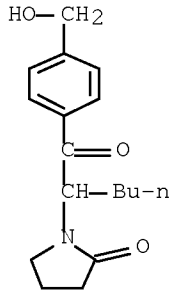
RN 591773-67-0 CAPLUS
CN Benzoic acid, 4-[1-oxo-2-(2-oxo-1-pyrrolidinyl)hexyl]- (CA INDEX NAME)



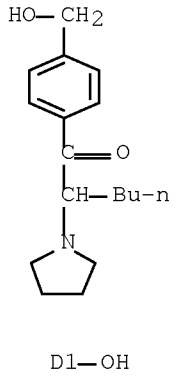
RN 591773-68-1 CAPLUS
CN 2-Pyrrolidinone, 1-[1-(4-methylbenzoyl)pentyl]- (CA INDEX NAME)



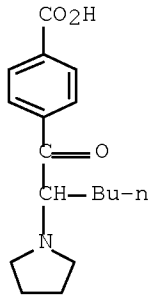
RN 591773-69-2 CAPLUS
CN 2-Pyrrolidinone, 1-[1-[4-(hydroxymethyl)benzoyl]pentyl]- (CA INDEX NAME)



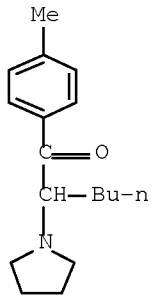
RN 592518-52-0 CAPLUS
CN 1-Hexanone, 3(4-,5 or 6)-hydroxy-1-[4-(hydroxymethyl)phenyl]-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



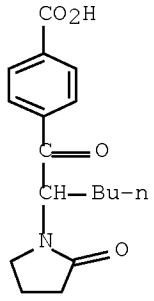
RN 592518-53-1 CAPLUS
CN Benzoic acid, 4-[3(4-,5 or 6)-hydroxy-1-oxo-2-(1-pyrrolidinyl)hexyl]- (9CI) (CA INDEX NAME)



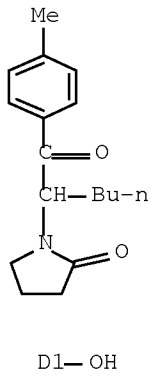
RN 592518-54-2 CAPLUS
CN 1-Hexanone, 3(4-,5 or 6)-hydroxy-1-(4-methylphenyl)-2-(1-pyrrolidinyl)-
(9CI) (CA INDEX NAME)



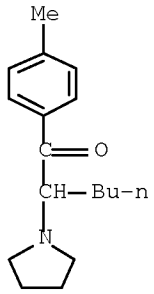
RN 592518-55-3 CAPLUS
CN Benzoic acid, 4-[3(4-,5 or 6)-hydroxy-1-oxo-2-(2-oxo-1-pyrrolidinyl)hexyl]-
(9CI) (CA INDEX NAME)



RN 592518-56-4 CAPLUS
CN 2-Pyrrolidinone, 1-[2(3-,4 or 5)-hydroxy-1-(4-methylbenzoyl)pentyl]- (9CI) (CA INDEX NAME)



IT 34138-58-4
RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)
(metabolism of designer drug 4'-methyl-α-pyrrolidinohexanophenone and toxicol. detection in urine using GC-MS)
RN 34138-58-4 CAPLUS
CN 1-Hexanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:133030 CAPLUS Full-text
DOCUMENT NUMBER: 138:163577
TITLE: Improving neurological functions
INVENTOR(S): Chez, Michael G.
PATENT ASSIGNEE(S): Carn-Aware LLC, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

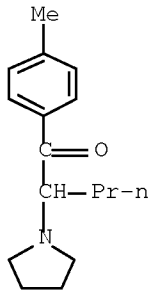
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003013514	A1	20030220	WO 2002-US22341		20020715 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2002355388	A1	20030224	AU 2002-355388		20020715 <--
US 20060052428	A1	20060309	US 2005-486077		20050210
PRIORITY APPLN. INFO.:			US 2001-310710P	P	20010808
			US 2001-325136P	P	20010927
			WO 2002-US22341	W	20020715

OTHER SOURCE(S): MARPAT 138:163577

AB The present invention relates to materials and methods for treating neurol. diseases and disorders including but not limited to epilepsy and autism, as well as general cognitive problems. Preferred compds. include carnosine and homocarnosine and N-acetyl, methylated (anserine, ophidine), decarboxylated (carcinine) and tauryl derivs. of carnosine and homocarnosine.

IT 3563-49-3, Pyrovalerone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stimulant; agents for improving neurol. functions such as carnosine derivs. and combination with other agents)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:10280 CAPLUS Full-text
DOCUMENT NUMBER: 136:64150
TITLE: GABA-ergic agonists for the treatment of age-related brain cortical dysfunction
INVENTOR(S): Leventhal, Audie G.
PATENT ASSIGNEE(S): University of Utah Research Foundation, USA

SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000221	A1	20020103	WO 2001-US19719	20010620 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2413405	A1	20020103	CA 2001-2413405	20010620 <--
AU 2001068609	A	20020108	AU 2001-68609	20010620 <--
EP 1303280	A1	20030423	EP 2001-946582	20010620 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AU 2001268609	B2	20060511	AU 2001-268609	20010620
US 20040023952	A1	20040205	US 2002-311821	20021217
AU 2006203432	A1	20060831	AU 2006-203432	20060809

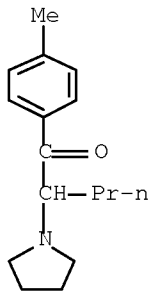
PRIORITY APPLN. INFO.:

US 2000-213388P	P	20000623
US 2001-277427P	P	20010320
WO 2001-US19719	W	20010620

AB Methods are disclosed for the improvement of age-related decreases in cortical function by increasing the activity of inhibitory pathways, such as GABA-ergic pathways, in the central nervous system. In particular examples, subjects with age-related decreases in cortical function are treated by administration of therapeutically effective amts. of a GABA-ergic agonist. The disclosed methods also enable screening for drugs that inhibit an age-related decline in cortical function, for example by exposing a subject to a test agent, and measuring an increase in GABA-ergic cortical inhibitory activity.

IT 3563-49-3, Pyrovalerone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GABA-ergic agonists for treatment of age-related brain cortical dysfunction)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



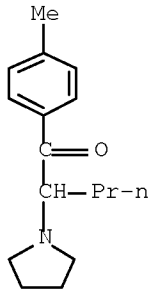
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:182419 CAPLUS Full-text
DOCUMENT NUMBER: 135:221115
TITLE: Differential sensitivity to NaCl for inhibitors and substrates that recognize mutually exclusive binding sites on the neuronal transporter of dopamine in rat striatal membranes
AUTHOR(S): Tidjane Corera, A.; Do-Rego, J.-C.; Costentin, J.; Bonnet, J.-J.
CORPORATE SOURCE: U.F.R. de Medecine et Pharmacie, IFRMP 23, UMR C.N.R.S. 6036, Rouen, 76000, Fr.
SOURCE: Neuroscience Research (Shannon, Ireland) (2001), 39(3), 319-325
CODEN: NERADN; ISSN: 0168-0102
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Addition of NaCl (90-290 mM) to a 10 mM Na+ medium did not significantly modify Bmax and Kd values for [3H]mazindol binding to the dopamine neuronal transporter (DAT) studied on rat striatal membranes at 20°. Addition of NaCl differentially affected the ability of other uptake inhibitors and substrates to block the [3H]mazindol binding. Ratios of 50% inhibiting concns. calculated for 290 and 90 mM NaCl allowed to distinguish three groups of agents: substrates which were more potent in the presence of 290 mM NaCl (group 1; ratio<1) and two groups of uptake inhibitors displaying ratio values either ranging around two (group 2: WIN 35,428, cocaine, methylphenidate, pyrovalerone) or close to unity (group 3: BTCP, mazindol, benztropine, nomifensine). However, agents from these three groups recognize mutually exclusive binding sites since in interaction studies the presence of WIN 35,428 (group 2) or mazindol (group 3) increased the 50% inhibiting concns. of d-amphetamine (group 1) and WIN 35,428 on the [3H]mazindol binding to theor. values expected for a competition of all of these compds. for the same binding domain on the DAT.

IT 3563-49-3, Pyrovalerone
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(differential sensitivity to NaCl for inhibitors and substrates that recognize mutually exclusive binding sites on neuronal transporter of dopamine in rat striatal membranes)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

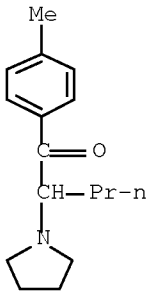
L4 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:725442 CAPLUS Full-text
DOCUMENT NUMBER: 133:301177
TITLE: Pharmaceutical dosage form for pulsatile delivery of d-threo-methylphenidate and a second CNS stimulant
INVENTOR(S): Midha, Kamal K.; Teicher, Martin
PATENT ASSIGNEE(S): Pharmaquest Ltd., Bermuda
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000059481	A1	20001012	WO 2000-US9472		20000406 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2366791	A1	20001012	CA 2000-2366791		20000406 <--
AU 2000042213	A	20001023	AU 2000-42213		20000406 <--
US 6217904	B1	20010417	US 2000-544382		20000406 <--
EP 1191924	A1	20020403	EP 2000-921958		20000406 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002541093	T	20021203	JP 2000-609045		20000406 <--
PRIORITY APPLN. INFO.:			US 1999-127984P	P	19990406
			WO 2000-US9472	W	20000406

AB Novel pharmaceutical dosage forms provide for pulsatile delivery of d-threo-methylphenidate (I) and a second CNS stimulant, i.e., release encapsulated drug in spaced apart "pulses". The second CNS stimulant may be an analeptic agent or a psychostimulant, with analeptic agents preferred. The dosage forms may comprise capsules housing compressed tablets or drug-containing beads or particles, or may comprise a tablet with the first, second and optionally third dosage units each representing an integral and discrete segment thereof. Methods of treatment using the pharmaceutical dosage forms are provided as well. A pulsatile release dosage for for administration of I and d-amphetamine is prepared by formulating 3 individual compressed tablets, each having a different release profile, followed by encapsulating the 3 tablets into a gelatin capsule and then closing and sealing the capsule.

IT 3563-49-3, Pyrovalerone
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical dosage form for pulsatile delivery of d-threo-methylphenidate and a second CNS stimulant)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:725440 CAPLUS Full-text
DOCUMENT NUMBER: 133:301175
TITLE: Pharmaceutical dosage form for pulsatile delivery of methylphenidate
INVENTOR(S): Midha, Kamal K.; Iorio, Theodore L.; Chungi, Shubha
PATENT ASSIGNEE(S): Pharmaquest Ltd., Bermuda
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000059479	A1	20001012	WO 2000-US9359		20000406 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2368367	A1	20001012	CA 2000-2368367		20000406 <--
AU 2000043347	A	20001023	AU 2000-43347		20000406 <--
US 6217904	B1	20010417	US 2000-544382		20000406 <--
EP 1165054	A1	20020102	EP 2000-923181		20000406 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002541092	T	20021203	JP 2000-609043		20000406 <--
PRIORITY APPLN. INFO.:			US 1999-127984P	P	19990406
			WO 2000-US9359	W	20000406

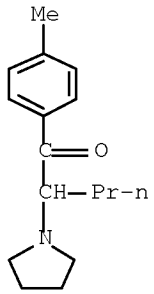
AB Novel pharmaceutical dosage forms provide for pulsatile delivery of methylphenidate, i.e., release encapsulated drug in spaced apart "pulses". The dosage forms are comprised of first, second and optionally third dosage units, with each dosage unit having a different drug release profile. The dosage forms may comprise capsules housing compressed tablets or drug-containing beads or particles, or may comprise a single tablet with the first, second and optionally third dosage units each representing an integral and discrete segment thereof. Methods of treatment using the pharmaceutical dosage forms

are provided as well. A pulsatile release dosage form for administration of dl-threo-methylphenidate is prepared by formulating 3 individual compressed tablets, each having a different release profile, followed by encapsulating the 3 tablets into a gelatin capsule and then closing and sealing the capsule.

IT 3563-49-3, Pyrovalerone
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pulsatile release pharmaceuticals for delivery of methylphenidate)

RN 3563-49-3 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:385498 CAPLUS Full-text

DOCUMENT NUMBER: 129:58793

ORIGINAL REFERENCE NO.: 129:12121a,12124a

TITLE: Ascending-dose pharmaceutical dosage forms containing polymers

INVENTOR(S): Hamel, Lawrence G.; Ayer, Atul Devdatt; Wright, Jeri D.; Lam, Andrew; Shivanand, Padmaja

PATENT ASSIGNEE(S): Alza Corporation, USA

SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9823263	A1	19980604	WO 1997-US22016	19971112 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9709605	A	19980521	ZA 1997-9605	19971027 <--
CA 2265668	C	19980604	CA 1997-2265668	19971112 <--
CA 2265668	A1	19980604		

AU 9852676	A	19980622	AU 1998-52676	19971112	<--
EP 946151	A1	19991006	EP 1997-947642	19971112	<--
EP 946151	B1	20060510			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
CN 1233953	A	19991103	CN 1997-199014	19971112	<--
CN 1182839	C	20050105			
JP 2002513392	T	20020508	JP 1998-524895	19971112	<--
CN 1636552	A	20050713	CN 2004-10092937	19971112	
AT 325606	T	20060615	AT 1997-947642	19971112	
ES 2264173	T3	20061216	ES 1997-947642	19971112	
CN 1939304	A	20070404	CN 2006-10099819	19971112	
EP 1782798	A2	20070509	EP 2006-9437	19971112	
EP 1782798	A3	20080521			
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
HK 1021620	A1	20061027	HK 2000-100602	20000131	
AU 2004200938	A1	20040401	AU 2004-200938	20040305	
AU 2004200938	B2	20060914			
AU 2004201230	A1	20040429	AU 2004-201230	20040323	
AU 2004201230	B2	20070830			
AU 2007237241	A1	20071220	AU 2007-237241	20071128	

PRIORITY APPLN. INFO.:

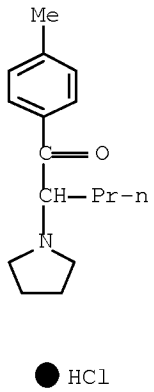
US 1996-31741P	P	19961125
US 1997-967606	A	19971110
CN 2004-10092937	A3	19971112
EP 1997-947642	A3	19971112
WO 1997-US22016	W	19971112
AU 1999-43197	A3	19990527
AU 2004-201230	A3	20040323

AB A dosage form and a method are disclosed for delivering to a human patient a drug in an ascending amount over time. Thus, a first dosage form was prepared from 28 mg methylphenidate-HCl and a second dosage form 42 mg methylphenidate-HCl. The coating material used was HPMC.

IT 1147-62-2, Pyrovalerone hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ascending-dose pharmaceutical dosage forms containing polymers)

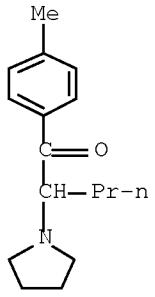
RN 1147-62-2 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:471093 CAPLUS Full-text
DOCUMENT NUMBER: 127:186695
ORIGINAL REFERENCE NO.: 127:36097a,36100a
TITLE: Properties and units in the clinical laboratory sciences. VI. Properties and units in IOC prohibited drugs
AUTHOR(S): Olesen, H.; Cowan, D.; Bruunshuus, I.; Klempel, K.; Hill, G.
CORPORATE SOURCE: IUPAC Commission on Nomenclature, Properties and Units (C-NPU), Chem. Human Health Div., IUPAC, Oxford, UK
SOURCE: Pure and Applied Chemistry (1997), 69(5), 1081-1136
CODEN: PACHAS; ISSN: 0033-4545
PUBLISHER: Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The term designating a substance being an active ingredient of a drug may be a generic name, a nonproprietary name, a registered trade name, a fantasy name or other. This causes difficulties in the transmission of request and report on such substances to and from the clin. labs., and in the collating of this information from different sources. The document comprises a list of properties of drugs of abuse in biol. fluids as defined by the International Olympic Committee (IOC) Medical Code for use in electronic transmission systems. Standard systematic names are presented with a code value for each. The coding schemes thus prepared are accessible on Internet from C-NPU Home page address: http://inet.uni-c.dk/.apprx.qukb7642.
IT 3563-49-3, Pyrovalerone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(properties and units International Olympic Committee-prohibited drugs)
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



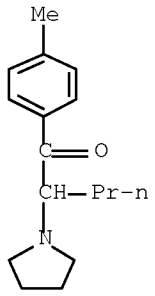
L4 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:372881 CAPLUS Full-text
DOCUMENT NUMBER: 127:46142
ORIGINAL REFERENCE NO.: 127:8695a,8698a
TITLE: Gas-chromatographic/mass-spectrometric screening for determination of conjugated stimulants and narcotic substances in urine samples
AUTHOR(S): Tzutzulova-Draganova, A.; Halacheva, N.; Angelova, M.
CORPORATE SOURCE: Doping Laboratory, National Center "Sport & Health", Sofia, 1172, Bulg.

SOURCE: Analytical Laboratory (1996), 5(4), 229-237
CODEN: ANLAEG; ISSN: 0861-4938
PUBLISHER: Spectrotech
DOCUMENT TYPE: Journal
LANGUAGE: Bulgarian

AB A routine method for acquisition and processing of data obtained from GC/MS screening of detecting conjugated stimulants and narcotic drugs in urine is presented. The GC/MS conditions for doping analyses were preliminary optimized. Selective ions monitoring is used for the detection of substances of interest or their metabolites. Two or three characteristic ions per compound are included in the data acquisition method. A computer macros was created for extracting a selected ion profile of the characteristic ions of the substances at time interval corresponding to their retention times. At the end of anal. a graphic report containing primary information for the presence of the analyzed compds. in urine is obtained.

IT 3563-49-3, Pyrovalerone
RL: ANT (Analyte); ANST (Analytical study)
(gas-chromatog./mass-spectrometric screening for determination of conjugated stimulants and narcotic substances in urine samples)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



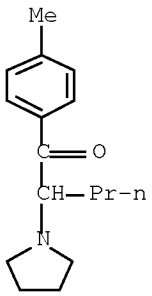
L4 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:71177 CAPLUS Full-text
DOCUMENT NUMBER: 126:100354
ORIGINAL REFERENCE NO.: 126:19281a,19284a
TITLE: Identification of a pyrovalerone metabolite in the rat by gas chromatography-mass spectrometry and determination of pyrovalerone by gas chromatography-nitrogen-phosphorus detection
AUTHOR(S): Lho, Dong-Seok; Lee, JeongAe; Kim, Seungki; Park, Jongsei; Shin, Ho-Sang
CORPORATE SOURCE: Doping Control Center, Korea Inst. Sci. Technol., Seoul, S. Korea
SOURCE: Journal of Chromatography, B: Biomedical Applications (1996), 687(1), 253-259
CODEN: JCBBEP; ISSN: 0378-4347
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Pyrovalerone and its hydroxylated metabolite have been identified by gas chromatog.-mass spectrometry in rat urine and plasma. A sensitive gas chromatog. method for the quant. anal. of pyrovalerone in rat urine and plasma

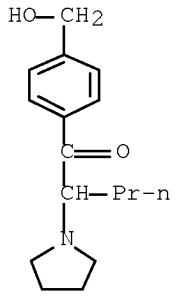
is described. The method also permits the quant. monitoring of the urine excretion of the drug and its metabolite. Pyrovalerone and its hydroxylated metabolite are detected up to 18 h after a single oral administration to the rat at a dose of 20 mg/kg.

IT 3563-49-3, Pyrovalerone 184592-08-3, 1-Pentanone, 1-(4-hydroxymethylphenyl)-2-(1-pyrrolidinyl)-
RL: ANT (Analyte); ANST (Analytical study)
(pyrovalerone hydroxy metabolite determination by gas chromatog.-mass spectrometry and pyrovalerone determination by gas chromatog.-nitrogen-phosphorus detection)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 184592-08-3 CAPLUS
CN 1-Pentanone, 1-[4-(hydroxymethyl)phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

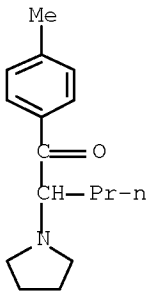
L4 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:709566 CAPLUS Full-text
DOCUMENT NUMBER: 126:27751
ORIGINAL REFERENCE NO.: 126:5585a,5588a
TITLE: Detection and identification of pyrovalerone and its hydroxylated metabolite in the rat
AUTHOR(S): Shin, Ho-Sang; Shin, Yun-Suk O.; Lee, Soha; Park, Byung-Bin
CORPORATE SOURCE: KWWI, Seoul, S. Korea

SOURCE: Journal of Analytical Toxicology (1996), 20(7), 568-572
CODEN: JATOD3; ISSN: 0146-4760
PUBLISHER: Preston Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

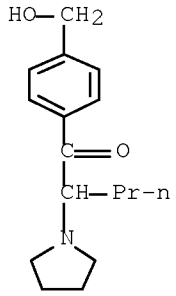
AB Detection and identification of pyrovalerone and its metabolite, a hydroxylated product, are described. Their identities were confirmed by comparing their mass spectra and gas chromatog. retention times with those of the synthetic stds. The anal. method of pyrovalerone and its metabolite in biol. samples is developed. The detection limit of the two compds. was 5 ng/mL, and the standard curves were linear in the concentration range of 10-5000 ng/mL. The single dose kinetics of pyrovalerone and the metabolite in rat urine and plasma were studied. The calculated first half-time of pyrovalerone in rat plasma was 0.34 h, and the second half-life time was 1.50 h. The half-life time of the metabolite was 0.39 h, and the second half-life time was 1.5 h. The half-life time of the metabolite was 0.39 h. The two products were detected in rat urine up to 18 h after a single oral administration and are suggested as screening target compds. in dope anal.

IT 3563-49-3, Pyrovalerone 184592-08-3
RL: ANT (Analyte); ANST (Analytical study)
(pyrovalerone and hydroxylated metabolite detection by gas chromatog.)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 184592-08-3 CAPLUS
CN 1-Pentanone, 1-[4-(hydroxymethyl)phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)

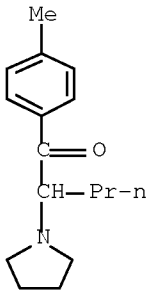


L4 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:234237 CAPLUS Full-text
DOCUMENT NUMBER: 122:71265
ORIGINAL REFERENCE NO.: 122:13303a,13306a
TITLE: Identification of the new metabolites of pyrovalerone by various derivatization methods in the rat urine
AUTHOR(S): Shin, Hosang; Park, Jongsei
CORPORATE SOURCE: Doping Control Center, Korea Inst. Sci. Technol., Seoul, S. Korea
SOURCE: Korean Biochemical Journal (1994), 27(5), 357-61
CODEN: KBIJEK; ISSN: 0368-4881
PUBLISHER: Biochemical Society of the Republic of Korea
DOCUMENT TYPE: Journal
LANGUAGE: Korean

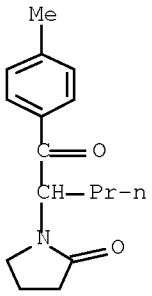
AB Identification of the new metabolites of pyrovalerone was described. Three new metabolites of pyrovalerone in rat urine were identified by gas chromatog.-mass spectrometry (GC-MS). The structural elucidation of the metabolites was carried out by interpretation of the mass spectra of their various derivs. Almost all of the metabolites were extracted in the acidic urine after enzyme hydrolysis and these metabolites had the carboxyl group. A metabolite was extracted as a unconjugated basic compound in the urine. The metabolites can be screened by the described extraction method.

IT 3563-49-3D, Pyrovalerone, metabolites 160388-70-5 160388-71-6
RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)
(pyrovalerone new metabolites identification in urine by various derivatization methods)

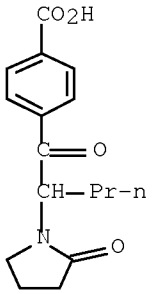
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 160388-70-5 CAPLUS
CN 2-Pyrrolidinone, 1-[1-(4-methylbenzoyl)butyl]- (CA INDEX NAME)



RN 160388-71-6 CAPLUS
CN Benzoic acid, 4-[1-oxo-2-(2-oxo-1-pyrrolidinyl)pentyl]- (CA INDEX NAME)

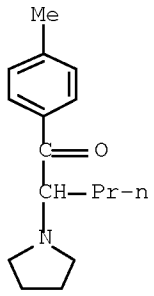


L4 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:177280 CAPLUS Full-text
DOCUMENT NUMBER: 122:894
ORIGINAL REFERENCE NO.: 122:215a,218a
TITLE: Evidence that pure uptake inhibitors including cocaine interact slowly with the dopamine neuronal carrier
AUTHOR(S): Heron, Catherine; Costentin, Jean; Bonnet, Jean-Jacques
CORPORATE SOURCE: EP 076 du C.N.R.S., U.F.R. de Medecine and Pharmacie de Rouen, BP 97, Saint Etienne du Rouvray, 76803, Fr.
SOURCE: European Journal of Pharmacology (1994), 264(3), 391-8
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We have studied the ability of various uptake blockers to protect the dopamine neuronal carrier labeled with [3H]GBR 12783 {1-[2-(diphenylmethoxy)ethyl]-4-(3-phenyl-2-(propenyl)piperazine} against N-ethylmaleimide-induced alkylation, using membrane prepns. obtained from rat striatum. Pure uptake inhibitors such as mazindol, pyrovalerone, nomifensine and methylphenidate, and substrates (dopamine, d-amphetamine, m-tyramine) protected the [3H]GBR 12783 binding site in a concentration-dependent manner. Preincubation of the membranes with these agents prior to N-ethylmaleimide treatment did not modify the protecting ability of substrates, whereas it significantly improved that of pure uptake inhibitors including cocaine. When the preincubation was

omitted, the concentration dependence of the protection observed with pure uptake inhibitors decreased and a maximal 40% protection was observed for 10 μM to 1 mM cocaine concns. Effective protecting concns. of blockers are correlated with their Ki determined in standard binding studies. These results reveal that all pure uptake inhibitors bind slowly to the dopamine neuronal carrier whereas substrates interact with it rapidly.

IT 3563-49-3, Pyrovalerone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(cocaine and other uptake inhibitors interaction with dopamine neuronal carrier in striatum)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)

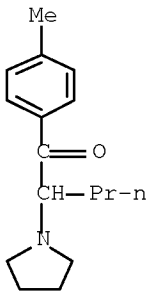


L4 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:575494 CAPLUS Full-text
DOCUMENT NUMBER: 119:175494
ORIGINAL REFERENCE NO.: 119:31235a,31238a
TITLE: Separation and identification of stimulants and their metabolites
AUTHOR(S): Cui, J. F.; Li, L.; Cui, K. R.; Zhou, Y.; Li, N.; Wang, M. Z.; Zhou, T. H.
CORPORATE SOURCE: Inst. Materia Med., Chin. Acad. Med. Sci., Beijing, 100050, Peop. Rep. China
SOURCE: Yaoxue Xuebao (1993), 28(6), 455-63
CODEN: YHHPAL; ISSN: 0513-4870
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

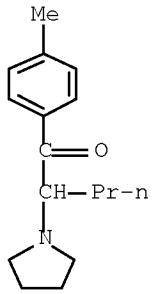
AB Forty-one stimulant drugs banned by the International Olympic Committee were studied after they were administered to human volunteers. The parent drugs and their metabolites in free or conjugated forms in human urine collected within 24 h after administration of the drugs were extracted, separated and identified. The separation was performed on a capillary gas chromatograph with nitrogen-phosphorus detector, while the identification was achieved on a capillary gas chromatograph with a mass-selective detector. The extract was injected into the gas chromatog. both directly and after derivatization with triflouroacetic anhydride (TFAA) or N-methyl-N-trimethysilyltrifluoroacetamide (MSTFA) as well as TFAA and MSTFA combined. The conjugated metabolites were studied after acid hydrolysis of the extract and then selectively derivatized as above.

IT 3563-49-3, Pyrovalerone
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in human urine by GC-Mass spectrometry)

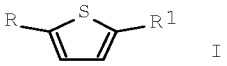
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:94260 CAPLUS Full-text
DOCUMENT NUMBER: 118:94260
ORIGINAL REFERENCE NO.: 118:16309a,16312a
TITLE: In vivo occupancy of the striatal dopamine uptake complex by various inhibitors does not predict their effects on locomotion
AUTHOR(S): Vaugeois, Jean Marie; Bonnet, Jean Jacques; Duterte-Boucher, Dominique; Costentin, Jean
CORPORATE SOURCE: Unite Neuropsychopharmacol. Exp., Fac. Med. Pharm. Rouen, Saint-Etienne du Rouvray, 76803, Fr.
SOURCE: European Journal of Pharmacology (1993), 230(2), 195-201
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We compared the ability of various dopamine (DA) uptake inhibitors to displace the in vivo striatal [3H]GBR 12783 (1-[2(diphenylmethoxy) ethyl)-4-(3-phenyl-1[3H]-2-propenyl)-piperazine) binding was compared with their stimulant effect on locomotor activity on mice. GBR 12783 (8 mg/kg), GBR 13069 (10 mg/kg), cocaine (20 mg/kg), mazindol (3 mg/kg) or pyrovalerone (2 mg/kg) stimulated locomotion as long as they occupied the DA uptake complex. In contrast, nomifensine (3 mg/kg) did not stimulate locomotion although it competed with [3H]GBR 12783 for the occupancy of the DA uptake complex at a significant level (>50%). Administered at their ED50 doses, GBR 12783, BTCP (N-[1-(2-benzo(b)thiophenyl)cyclohexyl]piperidine, GBR 13069, amineptine and dexamphetamine significantly increased locomotor activity whereas the other inhibitors tested did not. The locomotor response elicited by GBR 12783 (10 mg/kg) was not decreased by desipramine (20 mg/kg) nor by oxaprotiline (10 mg/kg). The increase in locomotion elicited by GBR 12783 was pos. correlated with the basal locomotor activity of the mice. The stimulant effect of GBR 12783 was potentiated by SKF 525A and by budipine. Addnl. pharmacol. properties might conceal the relationship between the effects of some DA uptake inhibitors on locomotion, and on in vivo occupancy of DA uptake sites.
IT 3563-49-3, Pyrovalerone
RL: BIOL (Biological study)
(locomotor activity response to, striatal dopamine uptake complex occupancy in relation to)
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)

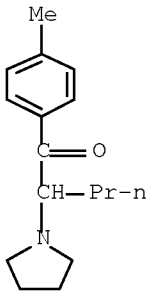


L4 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:571119 CAPLUS Full-text
DOCUMENT NUMBER: 117:171119
ORIGINAL REFERENCE NO.: 117:29577a,29580a
TITLE: Synthesis and preliminary study of the activity of thiophene analogs of pyrovalerone on the neuronal uptake of the monoamines
AUTHOR(S): Lancelot, J. C.; Robba, M.; Bonnet, J. J.; Vaugeois, J. M.; Costentin, J.
CORPORATE SOURCE: UFR Sci. Pharm., Univ. Caen, Caen, 14032, Fr.
SOURCE: European Journal of Medicinal Chemistry (1992), 27(3), 297-300
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Thiophenes I [R = Me, Et, Cl, R1 = COCH(NR1R2)Pr, NR1R2 = 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 4-methyl1-piperazinyl, etc.] (II) were prepared and evaluated for their inhibition of monoamines (dopamine, norepinephrine, serotonin) uptake and dopamine release by synaptosomal prepns. of rat brain. Thus, I (R = Me, Et, Cl, R1 = COBu) were brominated and aminated to give II. II were equally active on both [3H]-dopamine and -norepinephrine uptake but were less potent against [3H]-serotonin uptake.
IT 3563-49-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhibition by, of monoamine synaptosomal uptake and dopamine release)
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)

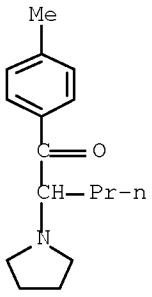


L4 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:507960 CAPLUS Full-text
DOCUMENT NUMBER: 115:107960
ORIGINAL REFERENCE NO.: 115:18373a,18376a
TITLE: Prediction of gas chromatographic relative retention times of stimulants and narcotics
AUTHOR(S): Georgakopoulos, C. G.; Kiburis, J. C.; Jurs, P. C.
CORPORATE SOURCE: Doping Control Lab., Olympic Athl. Cent. Athens, Athens, 15123, Greece
SOURCE: Analytical Chemistry (1991), 63(18), 2021-4
CODEN: ANCHAM; ISSN: 0003-2700
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The ADAPT software system was used to create models for the prediction of gas chromatog. relative retention times (RRTs) of stimulants and narcotics that are analyzed in doping control of athletes. The 2 main methods that were followed for building the models were the quant. structure-retention relationship (QSRR) and multiple linear regression anal. The main proposed model for the entire data set had a multiple correlation coefficient R = 0.991 and standard error s = 0.046 or .apprx.4.5%. Because of the relatively high standard error of the main model, a 2nd model was built based on a subset of compds. with R = 0.982 and s = 0.027 or .apprx.2.5%.

IT 3563-49-3, Pyrovalerone
RL: BIOL (Biological study)
(gas chromatog. retention time of, prediction of)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)

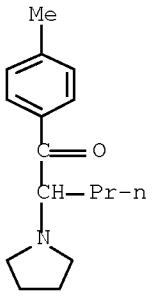


L4 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:491336 CAPLUS Full-text
DOCUMENT NUMBER: 113:91336
ORIGINAL REFERENCE NO.: 113:15203a,15206a
TITLE: Thermodynamic analyses of the binding of substrates and uptake inhibitors on the neuronal carrier of dopamine labeled with [3H]GBR 12783 or [3H]mazindol
AUTHOR(S): Bonnet, Jean Jacques; Benmansour, Saloua; Costentin, Jean; Parker, Eric M.; Cubeddu, Luigi X.
CORPORATE SOURCE: Lab. Neuropsychopharmacol., Cent. Natl. Rech. Sci., Saint Etienne du Rouvray, 76800, Fr.
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1990), 253(3), 1206-14
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English

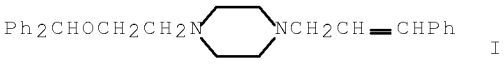
AB The thermodn. properties of the binding of substrates and uptake blockers to the specific sites labeled with a tritiated dopamine uptake inhibitor (i.e., 1-[2-(diphenylmethoxy)ethyl]-4-(3-phenyl-2-propenyl)piperazine ([3H] GBR 12783) or [3H]mazindol) was studied using striatal membrane prepns. Raising the incubation temperature from 0° to 25° or 37° resulted in an increase in the dissociation constant of both [3H]mazindol and [3H]GBR 12783 for their specific sites of binding present in membrane suspensions obtained from either rabbit or rat striatum. However, maximal concns. of binding sites were not affected by temperature At all tested temps., both substrates and carrier blockers competed with either [3H]mazindol or [3H]GBR 12783 in a monophasic fashion, with Hill coeffs. close to unity. Raising the temperature induced little or no increase in inhibition consts. (Ki) for substrates (Ki ratio 37/0° <2,5). This is consistent with the mild increase of the Michaelis constant of dopamine for the neuronal uptake system when the incubation temperature was raised from 12.5 to 37° (from 126 to 406 nM). In contrast, increasing the temperature resulted in a more important increase in the Ki of uptake inhibitors (33 > Ki ratio >5). Thermodn. calcns. showed that the binding of substrates is generally characterized by a mild decrease in enthalpy (range, -2-6 kcal/mol) associated with an increase in entropy, whereas binding of uptake inhibitors led to a decrease of both parameters. These results suggest that: 1) raising the incubation temperature up to 37° allows discrimination between substrates and competitive inhibitors of the neuronal uptake; 2) the binding of substrates is entropy-driven and seems to be hydrophobic; and 3) the binding of carrier blockers is enthalpy-driven and could induce a conformational change in the carrier and/or involve electrostatic bonds with the neuronal carrier of dopamine.

IT 3563-49-3
RL: BIOL (Biological study)
(binding of, to dopamine neuronal carrier of brain, thermodn. of)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:452678 CAPLUS Full-text
DOCUMENT NUMBER: 107:52678
ORIGINAL REFERENCE NO.: 107:8627a,8630a
TITLE: Sodium independence of the binding of [3H]GBR 12783 and other dopamine uptake inhibitors to the dopamine uptake complex
AUTHOR(S): Benmansour, Saloua; Bonnet, Jean Jacques; Protais, Philippe; Costentin, Jean
CORPORATE SOURCE: UER Med. Pharm. Rouen, Saint Etienne de Rouvray, F-76800, Fr.
SOURCE: Neuroscience Letters (1987), 77(1), 97-102
CODEN: NELED5; ISSN: 0304-3940
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

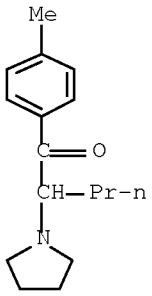


I

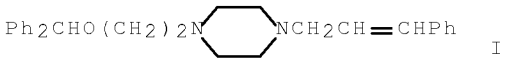
AB When Na+ (10-210 mM) was the only cation present in the incubation medium used for the determination of the specific binding of 3H-labeled GBR 12783 (I) in rat striatal membranes, the Na+-dependence was not observed In media with low (10 mM) or high (130 mM) Na+ concentration, mazindol and nomifensine competed with [3H]GBR 12783 for its specific binding site with the same affinities. With the exception of amineptine, all the tested catecholamine uptake inhibitors were equally potent in competing with [3H]GBR 12783 when Na+ concentration was decreased from 130 to 10 mM. Apparently, media previously used for the binding studies of tritiated inhibitors of dopamine uptake (Tris-ions buffer and Krebs-Ringer medium) contain ions which could exert inhibitory effects on the specific binding at low Na+ concentration

IT 3563-49-3, Pyrovalerone
RL: BIOL (Biological study)
(GBR 12783 binding by dopamine transport system of striatum in presence of, independent of sodium)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1986:546813 CAPLUS Full-text
DOCUMENT NUMBER: 105:146813
ORIGINAL REFERENCE NO.: 105:23539a,23542a
TITLE: High-affinity [3H]GBR 12783 binding to a specific site associated with the neuronal dopamine uptake complex in the central nervous system
AUTHOR(S): Bonnet, Jean Jacques; Protais, Philippe; Chagraoui, Abdeslam; Costentin, Jean
CORPORATE SOURCE: Lab. Pharmacodyn. Physiol., CNRS, Saint Etienne du Rouvray, 76800, Fr.
SOURCE: European Journal of Pharmacology (1986), 126(3), 211-22
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

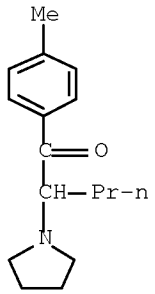
AB The rat neuronal dopamine [51-61-6] uptake system was labeled with the potent dopamine inhibitor, 3H-labeled GBR 12783 (I) [67469-57-2] (18.3 Ci/mmol). The binding of [3H]I to rat striatal membranes was saturable and specific with a dissociation constant of 1.6 nM and a maximum binding capacity of 10.3 pmol/mg/protein as determined by Scatchard anal. [3H]I binding to rat striatal membranes was inhibited by dopamine uptake inhibitors with median inhibitory concentration (IC50) highly correlated with their IC50 for inhibiting [3H]dopamine uptake by a rat striatal synaptosomal preparation The rank order of potency was the following: I > amfonelic acid [15180-02-6] > mazindol [22232-71-9], > pyrovalerone [3563-49-3], > nomifensine [24526-64-5] > benztropine [86-13-5] > amineptine [57574-09-1] > methylphenidate [113-45-1] > cocaine [50-36-2]. Substrates of dopamine uptake competed with [3H]I binding at concns. higher than those at which they inhibited [3H]dopamine uptake. In rats with a unilateral section of the medial forebrain bundle, the decrease in [3H]I binding to membranes prepared from the ipsilateral striatum was equal to the decrease in [3H]dopamine uptake by a synaptosomal preparation obtained from the same striatum. [3H]I bound in a Na-dependent manner to membranes prepared from striatum, nucleus accumbens, and tuberculum

olfactorium. I displayed an approx. 150-fold lower affinity for the cortical norepinephrine uptake system labeled with [3H]desipramine than for the dopamine transport complex labeled with [3H]I. [3H]I appears an attractive tool for the selective characterization of the dopamine uptake system in vitro.

IT 3563-49-3
RL: BIOL (Biological study)
(dopamine uptake by striatum synaptosome inhibition by)

RN 3563-49-3 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1986:508337 CAPLUS Full-text

DOCUMENT NUMBER: 105:108337

ORIGINAL REFERENCE NO.: 105:17383a,17386a

TITLE: A comparison of the effects of some phenethylamines on the release of radioactivity from isolated rat caudate nucleus prelabelled with 3H-dopamine

AUTHOR(S): Kalix, P.

CORPORATE SOURCE: Dep. Pharmacol., Univ. Geneve, Geneva, CH-1211/4, Switz.

SOURCE: Arzneimittel-Forschung (1986), 36(7), 1019-21
CODEN: ARZNAD; ISSN: 0004-4172

DOCUMENT TYPE: Journal

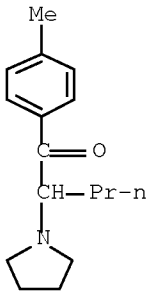
LANGUAGE: English

AB In order to evaluate a series of phenethylamines with regard to their capacity to induce release from presynaptic catecholamine stores, their effect on the efflux of radioactivity from 3H-labeled dopamine [51-61-6]-prelabeled rat caudate nucleus tissue was determined All of the phenethylamines studied were found to enhance the release of radioactivity from this preparation However, marked differences were observed between the individual compds. with regard to potency and dose dependence of the effect.

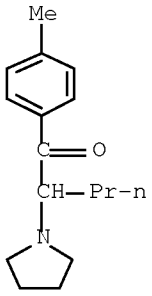
IT 3563-49-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(dopamine release by caudate nucleus response to)

RN 3563-49-3 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1982:416524 CAPLUS Full-text
DOCUMENT NUMBER: 97:16524
ORIGINAL REFERENCE NO.: 97:2757a,2760a
TITLE: Unexpected interactions of some psychotropic drugs with barbital and pentobarbital effects in mice
AUTHOR(S): Simon, Pierre; Chermat, Raymond; Doare, Liliane; Bourin, Michel; Farinotti, Robert
CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Paris, F-75634/13, Fr.
SOURCE: Journal de Pharmacologie (1982), 13(2), 241-52
CODEN: JNPHAG; ISSN: 0021-793X
DOCUMENT TYPE: Journal
LANGUAGE: French
AB Potentiation (or antagonism) of barbiturate sleep is a standard test used in evaluating psychotropic activity. Differences in the interaction of psychotropics with barbital [57-44-3] and pentobarbital [76-74-4] are reported. Reasons for the use of both barbiturates in evaluating psychotropic activity are given.
IT 3563-49-3
RL: BIOL (Biological study)
(barbital and pentobarbital sleep time response to)
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)

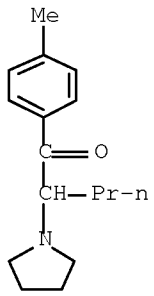


L4 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1981:203365 CAPLUS Full-text
DOCUMENT NUMBER: 94:203365

ORIGINAL REFERENCE NO.: 94:33199a,33202a
TITLE: Identification and quantitation of neutral and basic drugs in blood by gas chromatography and mass spectrometry
AUTHOR(S): Cailleux, A.; Turcant, A.; Premel-Cabic, A.; Allain, P.
CORPORATE SOURCE: Lab. Pharmacol., Cent. Hosp., Angers, 49036, Fr.
SOURCE: Journal of Chromatographic Science (1981), 19(4), 163-76
CODEN: JCHSBZ; ISSN: 0021-9665
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The quantitation of drugs that act on the central nervous system in blood of patients suspected of poisoning was undertaken and a simple method described. The method involves a basic extraction without derivatization. The plasma exts. are injected on a Hewlett-Packard chromatograph using 2 N-specific detectors. In most cases, the comparison of relative retention times on the 2 columns is sufficient for identification of the ingested drugs. When the method fails, the use of a gas chromatograph/mass spectrometer equipped with a chemical ionization source is necessary.

IT 3563-49-3
RL: ANST (Analytical study)
(identification and quantitation of, in blood by gas chromatog./mass spectrometry)
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1980:489554 CAPLUS Full-text
DOCUMENT NUMBER: 93:89554
ORIGINAL REFERENCE NO.: 93:14254h,14255a
TITLE: An integrated methodological approach to the computer-assisted gas chromatographic screening of basic drugs in biological fluids using nitrogen selective detection
AUTHOR(S): Dugal, Robert; Masse, Robert; Sanchez, Gabriel; Bertrand, Michel J.
CORPORATE SOURCE: Cent. Rech. Sci. Sante, Univ. Quebec, Montreal, QC, H1N 3M5, Can.
SOURCE: Journal of Analytical Toxicology (1980), 4(1), 1-12
CODEN: JATOD3; ISSN: 0146-4760
DOCUMENT TYPE: Journal

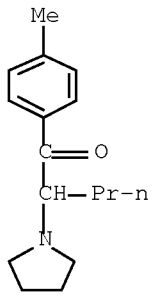
LANGUAGE: English

AB The methodol. aspects of a computerized system for the gas-chromatog. screening and primary identification of central nervous system stimulants and narcotic analgesics (including some of their resp. metabolites) extracted from urine is described. The operating conditions of a selective N detector for optimized anal. functions are discussed, particularly the effect of carrier and fuel gas on the detector's sensitivity to N-containing mols. and discriminating performance toward biol. matrix interferences. Application of simple extraction techniques, combined with rapid derivatization procedures, computer data acquisition, and reduction of chromatog. data are presented. Results show that this system approach allows for the screening of several drugs and their metabolites in a short amount of time. The reliability and stability of the system were tested by analyzing several thousand samples for doping control at major international sporting events and for monitoring drug intake in addicts participating in a rehabilitation program. Results indicate that these techniques can be used and adapted to many different anal. toxicol. situations.

IT 3563-49-3
RL: ANT (Analyte); ANST (Analytical study)
(detection of, by gas chromatog.)

RN 3563-49-3 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1979:197401 CAPLUS Full-text

DOCUMENT NUMBER: 90:197401

ORIGINAL REFERENCE NO.: 90:31259a,31262a

TITLE: Effects of pyrovalerone on peripheral noradrenergic mechanisms

AUTHOR(S): Servin, Alain; Fauquet, Jean Pierre; Jacquot, Christian; Rapin, Jean R.

CORPORATE SOURCE: Res. Cent., Jouille Inc., Puteaux, Fr.

SOURCE: Biochemical Pharmacology (1978), 27(12), 1693-4
CODEN: BCPCA6; ISSN: 0006-2952

DOCUMENT TYPE: Journal

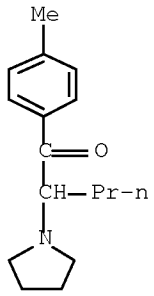
LANGUAGE: English

AB Pyrovalerone [3563-49-3] (10-8-2 + 10-4M) inhibited norepinephrine (I) [51-41-2] uptake by isolated perfused rat hearts, the 50% inhibitory concentration being 2 + 10-8M. The rapid washout of I from the easily accessible pool was followed after 5 min by a slow efflux from adrenergic neurons; pyrovalerone (10-6M) added to the perfusion medium caused an increase in I release.

Pretreatment with pyrovalerone (5 mg/kg, orally) decreased I turnover in the heart.

IT 3563-49-3
RL: BIOL (Biological study)
(noradrenaline turnover response to, in heart)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)

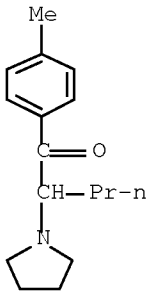


L4 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:133510 CAPLUS Full-text
DOCUMENT NUMBER: 90:133510
ORIGINAL REFERENCE NO.: 90:21071a,21074a
TITLE: Computerized gas chromatographic screening of volatile stimulants, sympathomimetic amines and narcotic analgesics using a nitrogen selective detector
AUTHOR(S): Bertrand, Michel; Masse, Robert; Dugal, Robert
CORPORATE SOURCE: Inst. Natl. Rech. Sci., Univ. Quebec, Montreal, QC, Can.
SOURCE: Farmaceutisch Tijdschrift voor Belgie (1978), 55(3), 55-83
CODEN: FMTBB2; ISSN: 0771-2367
DOCUMENT TYPE: Journal
LANGUAGE: English

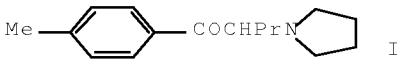
AB Using gas chromatographs modified with a side-mounted N P (nitrogen phosphorus) selective detector linked to a computer, doping agents in the urine were determined The layout used at the Montreal Olympic games is described. Retention times are given for a large number of drugs.

IT 3563-49-3
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in urine, by gas chromatog.)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



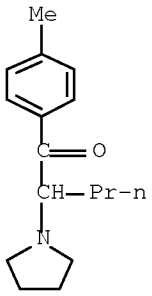
L4 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1978:591181 CAPLUS Full-text
DOCUMENT NUMBER: 89:191181
ORIGINAL REFERENCE NO.: 89:29579a,29582a
TITLE: Biochemical mechanism of action of pyrovalerone on the sympathetic nervous system
AUTHOR(S): Servin, Alain; Fauquet, Jean Pierre; Jacquot, Christian; Rapin, Jean R.
CORPORATE SOURCE: Cent. Rech., Lab. Joullie, Puteaux, Fr.
SOURCE: Journal de Pharmacologie (1978), 9(2), 109-19
CODEN: JNPHAG; ISSN: 0021-793X
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



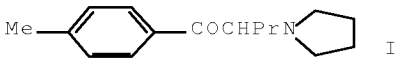
I

AB Pyrovalerone (I) [3563-49-3] and imipramine [50-49-7] were more potent inhibitors of noradrenaline [51-41-2] uptake by the isolated rat heart than amphetamine [300-62-9]. The release of 14C-noradrenaline by the isolated rat heart was increased by amphetamine and I, but not by imipramine. Only aphetamine decreased the specific activity of heart noradrenaline. In rats and mice, I and imipramine increased the turnover time of noradrenaline in both the heart and brain, while amphetamine decreased it. With respect to its effect on the sympathetic nervous system, I can be classified between the imipramine-like and amphetamine-like drugs.

IT 3563-49-3
RL: BIOL (Biological study)
(noradrenaline metabolism response to, amphetamine and imipramine in relation to)
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1977:183087 CAPLUS Full-text
DOCUMENT NUMBER: 86:183087
ORIGINAL REFERENCE NO.: 86:28637a,28640a
TITLE: Role of central catecholamines in the psychostimulant activity of pyrovalerone
AUTHOR(S): Fauquet, J. P.; Morel, E.; Demarty, C.; Rapin, J. R.
CORPORATE SOURCE: Cent. Rech., Lab. Joullie, Puteaux, Fr.
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1976), 224(2), 325-37
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: French
GI

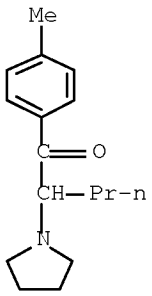


I

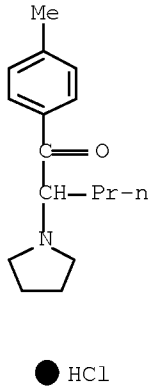
AB A comparative study of the effects of α methyl-p-tyrosine (α-MPT) and/or reserpine pretreatments on mice motorhyperactivity and rat stereotyped behavior induced by pyrovalerone (I) [3563-49-3] and amphetamine [300-62-9] suggests a different mechanism for these 2 substances. Both behavioral effects were abolished by α-MPT but not altered by reserpine in the case of amphetamine, which presumably acts through a selective release of "newly synthesized" catecholamines from a "functional" pool. In contrast to this, pyrovalerone increased spontaneous motor activity through a preferential release of norepinephrine from a "storage" pool, since motorhyperactivity was not altered by α MPT especially during the 1st phase, whereas it was abolished by reserpine. Stereotyped behavior induced by pyrovalerone, was still present after pretreatment with α-MPT or reserpine; these data suggest an action through a release of both "newly synthesized" and "stored" dopamine. On the other hand, a direct action on dopamine receptors might be involved after high doses of pyrovalerone and amphetamine since stereotyped behavior was found to be present after a combined pretreatment with α MPT + reserpine.

IT 3563-49-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(psychostimulant activity of, catecholamines in relation to)

RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1976:155563 CAPLUS Full-text
DOCUMENT NUMBER: 84:155563
ORIGINAL REFERENCE NO.: 84:25253a,25256a
TITLE: Effect of the apparent density of solid drug preparations on their stability
AUTHOR(S): Schepky, G.
CORPORATE SOURCE: Hauptabt. Forsch., Dr. Karl Thomae G.m.b.H., Biberach, Fed. Rep. Ger.
SOURCE: Acta Pharmaceutica Technologica (1975), 21(4), 267-72
CODEN: APTEDD; ISSN: 0340-3157
DOCUMENT TYPE: Journal
LANGUAGE: German
AB The stability of 3 moisture-sensitive pharmaceuticals [acetylsalicylic acid [50-78-2], SP 1059 (4-methyl-2-pyrrolidinylvalerophenone-HCl) [1147-62-2], and erythrol tetranitrate [7297-25-8]] in compressed compns. with 6 moisture-containing excipients was affected by the apparent d. of the compns., but the effects were not consistent, even for a given pharmaceutical-excipient mixture Thus, variations in the hardness of com. pharmaceutical compns. can lead to differences in product stability.
IT 1147-62-2
RL: PRP (Properties)
(stability of, in moisture-containing excipient compns., composition d. effect
on)
RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

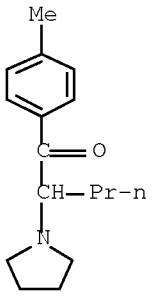


L4 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:532983 CAPLUS Full-text
DOCUMENT NUMBER: 79:132983
ORIGINAL REFERENCE NO.: 79:21527a,21530a
TITLE: Role of catechol amines in the response to various central stimulants
AUTHOR(S): Sayers, A. C.; Handley, Sheila L.
CORPORATE SOURCE: Dep. Pharm., Univ. Aston, Birmingham, UK
SOURCE: European Journal of Pharmacology (1973), 23(1), 47-55
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Rats pretreated with α-methyl-p-tyrosine methyl-ester HCl (I methyl ester HCl) [1421-66-5] showed reduced or absent stereotypy after treatment with amphetamine sulfate [60-13-9], phenmetrazine-HCl [1707-14-8], and other central stimulants. Some of the stimulants such as amphetamine potentiated I catalepsy, whereas others such as cocaine-HCl [53-21-4] antagonized it. Pretreatment of rats with reserpine [50-55-5] depressed stereotypy after ephedrine [299-42-3] administration, but not after administration of any of the other drugs. Excitation and stereotypies were induced in the combined presence of reserpine and I by apomorphine-HCl [314-19-2] and by high doses of some amphetamine analogs. This may indicate the relative importance of various pools of catechol amines in the response to central stimulant agents.

IT 3563-49-3
RL: BIOL (Biological study)
(behavior response to, catechol amines in relation to)

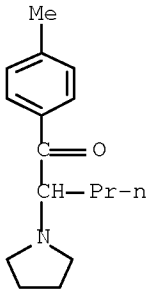
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:37786 CAPLUS Full-text
DOCUMENT NUMBER: 78:37786
ORIGINAL REFERENCE NO.: 78:5901a,5904a
TITLE: Antidepressives and stimulants
AUTHOR(S): Kaiser, Carl; Zirkle, Charles L.
CORPORATE SOURCE: Smith Kline and French Lab., Philadelphia, PA, USA
SOURCE: Annual Reports in Medicinal Chemistry (1972), 7, 18-30
CODEN: ARMCBI; ISSN: 0065-7743
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB The pharmacol. action of antidepressives (especially tricyclic compds.) and central stimulants (especially dextroamphetamine [51-64-9], methylphenidate [113-45-1], and pyrovalerone [3563-49-3]) and the possible relation of this activity to catechol amine metabolism are discussed in a review with 111 refs.

IT 3563-49-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nervous system stimulant)
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



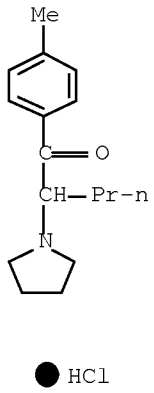
L4 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:121866 CAPLUS Full-text
DOCUMENT NUMBER: 76:121866
ORIGINAL REFERENCE NO.: 76:19689a,19692a

TITLE: Effect of some amphetamine analogs on α-methyl-p-tyrosine-induced catalepsy in rats
AUTHOR(S): Sayers, A.; Spencer, P. S. J.
CORPORATE SOURCE: Dep. Pharm., Univ. Aston, Gosta Green/Birmingham, UK
SOURCE: British Journal of Pharmacology (1971), 43(4), 877-80
CODEN: BJPCBM; ISSN: 0007-1188
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In rats, α-methyl-p-tyrosine methyl ester-HCl [1421-66-5]-induced catalepsy was strongly enhanced by (+)-amphetamine sulfate (I) [51-63-8] (5.0 mg/kg, s.c.) and (-)-ephedrine (II) [299-42-3] (40 mg/kg,s.c.), but was antagonized by the other amphetamine-like drugs tested, such as phenmetrazine-HCl [1707-14-8] (20 mg/kg, s.c.) and methylphenidate-HCl [298-59-9] (20 mg/kg, s.c.).

IT 1147-62-2
RL: BIOL (Biological study)
(catalepsy from methyltyrosine Me ester antagonism by)

RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

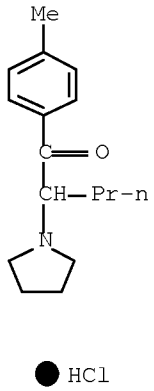


L4 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1972:81331 CAPLUS Full-text
DOCUMENT NUMBER: 76:81331
ORIGINAL REFERENCE NO.: 76:13053a,13056a
TITLE: Evaluation of pyrovalerone in chronically fatigued volunteers
AUTHOR(S): Gardos, George; Cole, Jonathan O.
CORPORATE SOURCE: Boston State Hosp., Boston, MA, USA
SOURCE: Current Therapeutic Research (1971), 13(10), 631-5
CODEN: CTCEA9; ISSN: 0011-393X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Pyrovalerone-HCl (I-HCl) [1147-62-2] in daily oral doses of 40-160 mg decreased the symptoms related to chronic fatigue in symptomatic human volunteers.

IT 1147-62-2
RL: BIOL (Biological study)
(in fatigue treatment)

RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

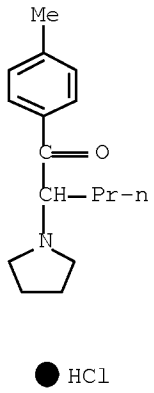


L4 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:463602 CAPLUS Full-text
DOCUMENT NUMBER: 75:63602
ORIGINAL REFERENCE NO.: 75:10075a,10078a
TITLE: α-Pyrrolidino ketones and their salts
INVENTOR(S): Seeger, Ernst; Engel, Wolfhard
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H.
SOURCE: Ger., 4 pp.
CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

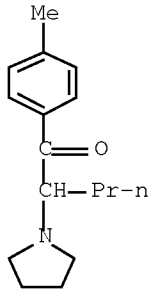
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1620536	A	19700416	DE 1966-T30440	19660211 <--
NL 6700918	A	19670814	NL 1967-918	19670120 <--
CH 487885	A	19700331	CH 1967-487885	19670125 <--
ES 336254	A2	19680401	ES 1967-336254	19670131 <--
SE 316474	B	19691027	SE 1967-1901	19670210 <--
DK 117831	B	19700608	DK 1967-738	19670210 <--

PRIORITY APPLN. INFO.: DE 1966-T30440 A 19660211
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) were prepared by treating nitriles II with substituted phenyllithium. Thus, prepared were I (R and R1 given): H, Pr; H, Bu; p-Me, Pr; m-Me, Pr; p-Cl, Pr; p-MeO, Pr; p-OH, Pr.
IT 1147-62-2P 3563-49-3P 5485-65-4P
5537-17-7P 5537-19-9P 5881-77-6P
13415-53-7P 13415-57-1P 13415-85-5P
13415-86-6P 13415-87-7P 14530-33-7P
14979-97-6P 32977-54-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1)

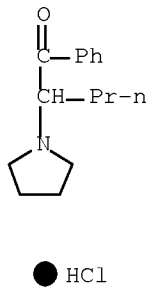
(CA INDEX NAME)



RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)

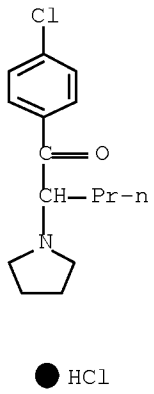


RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

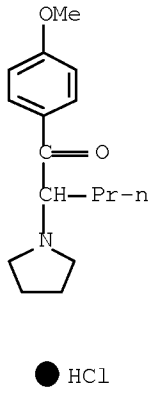


RN 5537-17-7 CAPLUS

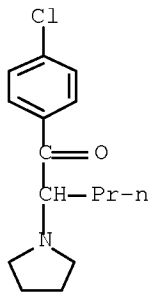
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1)
(CA INDEX NAME)



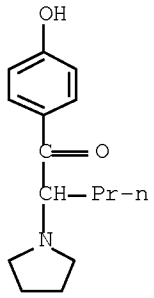
RN 5537-19-9 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1)
(CA INDEX NAME)



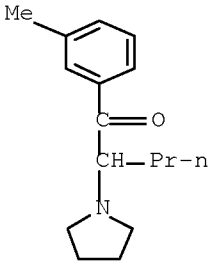
RN 5881-77-6 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



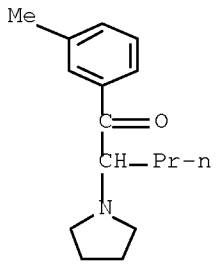
RN 13415-53-7 CAPLUS
CN 1-Pentanone, 1-(4-hydroxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



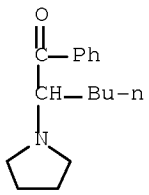
RN 13415-57-1 CAPLUS
CN 1-Pentanone, 1-(3-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



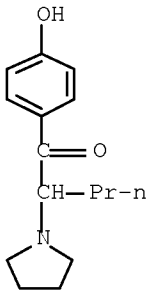
RN 13415-85-5 CAPLUS
CN 1-Pentanone, 1-(3-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



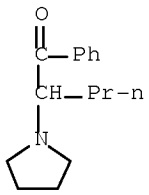
RN 13415-86-6 CAPLUS
CN 1-Hexanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



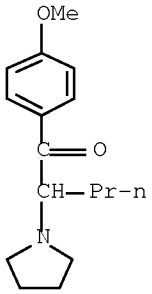
RN 13415-87-7 CAPLUS
CN 1-Pentanone, 1-(4-hydroxyphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14530-33-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



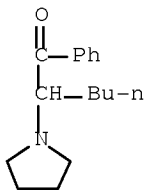
RN 14979-97-6 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 32977-54-1 CAPLUS
CN Hexanophenone, 2-(1-pyrrolidinyl)-, maleate(1:1) (8CI) (CA INDEX NAME)

CM 1

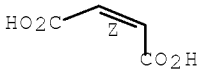
CRN 13415-86-6
CMF C16 H23 N O



CM 2

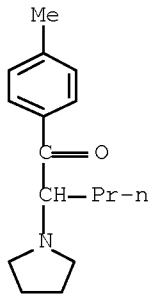
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



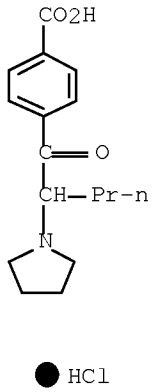
L4 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:433578 CAPLUS Full-text
DOCUMENT NUMBER: 73:33578
ORIGINAL REFERENCE NO.: 73:5569a,5572a
TITLE: Metabolism of pyrovalerone hydrochloride

AUTHOR(S): Michaelis, Werner; Russel, Jeff H.; Schindler, Othmar
CORPORATE SOURCE: Res. Inst., Dr. A. Wander S.A., Bern, Switz.
SOURCE: Journal of Medicinal Chemistry (1970), 13(3), 497-503
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The absorption, distribution, and excretion of 14C-labeled pyrovalerone-HCl [4'-methyl-2-(1-pyrrolidinyl)-valerophenone-HCl] were investigated after both oral and i.v. administration of a single dose of 20 mg/kg and 10 mg/kg, resp., to the mouse. After oral administration, the substance was rapidly and completely absorbed and, after both i.v. and oral administration, the radioactivity was excreted rapidly in the urine. Regardless of the mode of administration, within 24 hr over 90% reappeared in the urine whereas less than 10% was detected in the feces. The radioactivity found in the body was concentrated in the liver, bile, and kidneys. The brain contained only traces of radioactivity; this consisting of unchanged pyrovalerone. An examination was also made of human, rabbit, and mouse urine after administration of single doses of 60 mg for the human, 40 mg/kg orally for the rabbit, and 10 mg/kg i.v. for the mouse. The substance was excreted very rapidly by all three species and mainly as metabolite (I). In no instance could unchanged pyrovalerone be detected.
IT 1147-62-2
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of)
RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



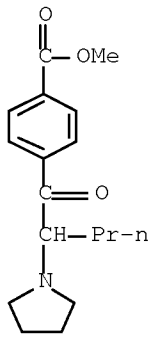
● HCl

IT 29138-20-3
RL: BIOL (Biological study)
(of urine, as pyrovalerone metabolite)
RN 29138-20-3 CAPLUS
CN Benzoic acid, 4-[1-oxo-2-(1-pyrrolidinyl)pentyl]-, hydrochloride (1:1) (CA INDEX NAME)

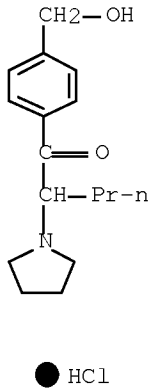


● HCl

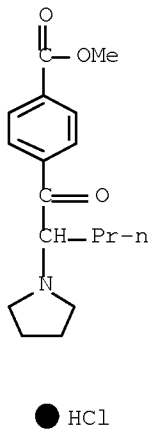
IT 30611-22-4P 30611-28-0P 30659-58-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 30611-22-4 CAPLUS
CN Benzoic acid, 4-[1-oxo-2-(1-pyrrolidinyl)pentyl]-, methyl ester (CA INDEX NAME)



RN 30611-28-0 CAPLUS
CN 1-Pentanone, 1-[4-(hydroxymethyl)phenyl]-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 30659-58-6 CAPLUS
CN Benzoic acid, 4-[1-oxo-2-(1-pyrrolidinyl)pentyl]-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)



L4 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:21608 CAPLUS Full-text
DOCUMENT NUMBER: 72:21608
ORIGINAL REFERENCE NO.: 72:3945a,3948a
TITLE: 1-[(3,4-Methylenedioxy)phenyl]-2-pyrrolidino-1-alkanones as stimulants
PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H.
SOURCE: Brit., 7 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1149366		19690423	GB 1966-23716	19660526 <--

PRIORITY APPLN. INFO.: DE 19650523

GI For diagram(s), see printed CA Issue.

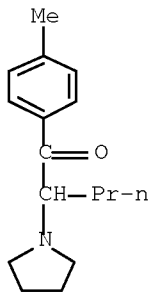
AB The title compds. (I) were prepared by the reaction of a 3',4'-methylenedioxyphenyl α-haloalkyl ketone with either excess pyrrolidine in an inert solvent at <100°, or NaOMe then pyrrolidine in an inert solvent. Thus, 22.1 g 1-(3,4-methylenedioxy-phenyl)-4-methylpentan-1-one in 100 ml C6H6 was brominated at room temperature with 5.1 ml Br in 15 ml C6H6, then evaporated in vacuo; a solution of the residue in 100 ml C6H6 was treated with 40 ml Et2O, then with 12 g pyrrolidine, kept 5 hr at 50°, worked up to give 71% I (R = H, R1 = Pr) HCl salt m. 236-8° (alc.-Et2O). A solution of 1.15 g Na in 30 ml MeOH was added to 13.2 g 1-(3,4-methylenedioxyphenyl)-2-bromo-2-methylpropan-1-one in 20 ml dry MeOH, the mixture refluxed 1 hr worked up and treated with 6 g pyrrolidine, then refluxed 17 hr, and worked up to give I (R = R1 = Me) b0·015 150°, HCl salt m. 188-90° (alc.-Et2O). Similarly were prepared the following I (R, R1, and m.p. of HCl salt given): H, Et (III), 227-8° (EtOH-Et2O); H, Bu (IV), 205.5-7. 0° (iso-PrOH-Et2O); H, Pr (V), 229-31° (iso-PrOH-Et2O); H, H, 234-5°; H, Me, 242-3°; H, C5H11 (VI), 201.5-3.5°; H, C6H13, 184.5-6.0°; H, iso-Pr, 266-7°; H, sec-Bu, (HBr salt) 257-8°; Me, Pr, (HBr salt) 151-2°; Et, Et, (HBr salt) 166-7°; and also 3',4'-methylenedioxy-2-morpholinoaceto-phenone, m. 219-20°. I, especially II, III, IV, V, and VI, are low toxicity central nervous system stimulants, and have hypertensive activity. The stimulation dose, LD50, and therapeutic index are for II, 0.20, 175 mg/kg, 875, IV, 0.54, 250 mg/kg, 463, and V, 0.96, 285 mg/kg, 296, resp., compared with benzedrine 1.95, 80 mg/kg, 42, and 1-(p-tolyl)-2-pyrrolidinopentanone, 1.6, 370 mg/kg, 231, resp.

IT 3563-49-3P

RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(1-[(3,4-Methylenedioxy)phenyl]-2-pyrrolidino-1-alkanones as stimulants)

RN 3563-49-3 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1967:482092 CAPLUS Full-text

DOCUMENT NUMBER: 67:82092

ORIGINAL REFERENCE NO.: 67:15471a,15474a

TITLE: α-Pyrrolidino ketones

INVENTOR(S): Seeger, Ernst

PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H.

SOURCE: U.S., 5 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

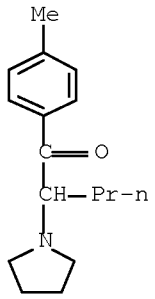
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3314970		19670418	US	<--
PRIORITY APPLN. INFO.:			DE	19600407

GI For diagram(s), see printed CA Issue.

AB Therapeutic α-pyrrolidino ketones of the general formula I are prepared Thus, a solution of 19.2 g. α-bromovalerophenone (II) in 40 cc. C6H6 was added at 40° to 11.2 g. pyrrolidine in 40 cc. C6H6. After stirring 30 min. the mixture was allowed to stand several hrs. to give 18 g. α-pyrrolidinovalerophenone b.0.15 113° [HCl salt m. 162°; acid sulfate m. 140°; maleate m. 131°; (tartrate m. 148-9°; citrate m. 88° (decomposition)]. Similarly prepd were the following I, (R, R1, R2, b.p./mm., and m.p. HCl salt given): 4-Me, Pr, H, 104°/0.08, 174-6°; H, Et, H, 94°/0.05, 196-8°; H, (CH2)4Me, H, 136-40°/0.1, 158°; 4-Cl, Pr, H, 126-30°/0.1, 205-7°; 3-Me, Pr, H, 116-18°/0.15, 164°; H, 180-Pr, H, 126°/0.5, 225-6°; 4-MeO, Pr, H, 147°/0.25, 176-8°; H, (CH2)6Me, H, 152°/0.1, -; 4-OH, Pr, H, -, 250°; H, Bu, H, 128-9°/0.45, 139°; H, Pr, 2-Me, 127-8°/0.02, 133-4°.

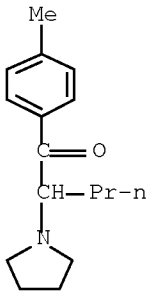
IT 1147-62-2P 3563-49-3P 5485-65-4P
5537-17-7P 5537-19-9P 5881-77-6P
13415-53-7P 13415-55-9P 13415-57-1P
13415-58-2P 13415-59-3P 13415-60-6P
13415-83-3P 13415-85-5P 13415-86-6P
13415-87-7P 14530-33-7P 14530-34-8P
14859-27-9P 14859-28-0P 14979-97-6P
14995-79-0P 16121-74-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

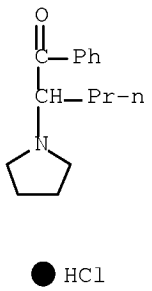


● HCl

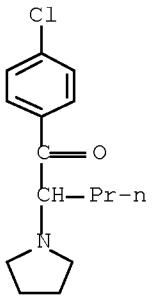
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



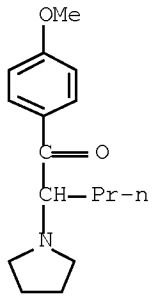
RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 5537-17-7 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

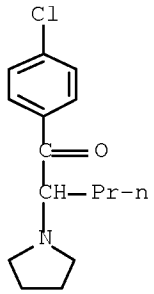


RN 5537-19-9 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

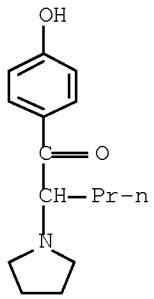


● HCl

RN 5881-77-6 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)

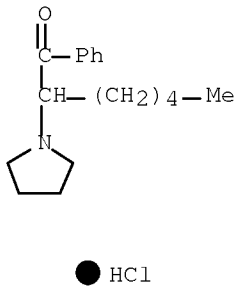


RN 13415-53-7 CAPLUS
CN 1-Pentanone, 1-(4-hydroxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

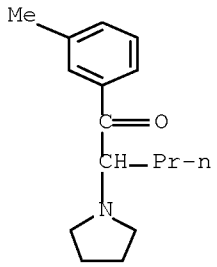


● HCl

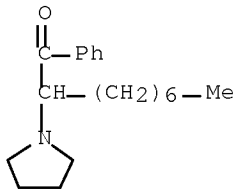
RN 13415-55-9 CAPLUS
CN 1-Heptanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



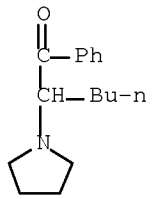
RN 13415-57-1 CAPLUS
CN 1-Pentanone, 1-(3-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 13415-58-2 CAPLUS
CN 1-Nonanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)

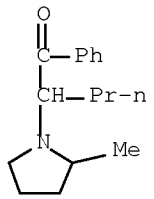


RN 13415-59-3 CAPLUS
CN 1-Hexanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



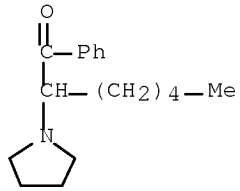
● HCl

RN 13415-60-6 CAPLUS
CN 1-Pentanone, 2-(2-methyl-1-pyrrolidinyl)-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

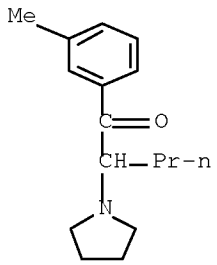


● HCl

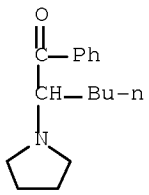
RN 13415-83-3 CAPLUS
CN 1-Heptanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



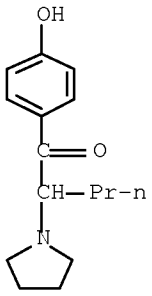
RN 13415-85-5 CAPLUS
CN 1-Pentanone, 1-(3-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



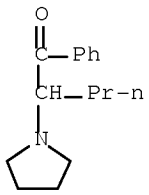
RN 13415-86-6 CAPLUS
CN 1-Hexanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



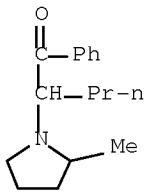
RN 13415-87-7 CAPLUS
CN 1-Pentanone, 1-(4-hydroxyphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14530-33-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



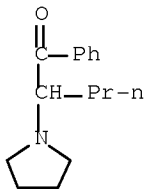
RN 14530-34-8 CAPLUS
CN 1-Pentanone, 2-(2-methyl-1-pyrrolidinyl)-1-phenyl- (CA INDEX NAME)



RN 14859-27-9 CAPLUS
CN Valerophenone, 2-(1-pyrrolidinyl)-, tartrate (7CI, 8CI) (CA INDEX NAME)

CM 1

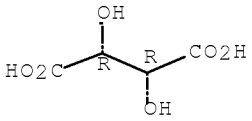
CRN 14530-33-7
CMF C15 H21 N O



CM 2

CRN 87-69-4
CMF C4 H6 O6

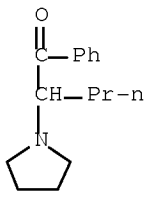
Absolute stereochemistry.



RN 14859-28-0 CAPLUS
CN Valerophenone, 2-(1-pyrrolidinyl)-, maleate (8CI) (CA INDEX NAME)

CM 1

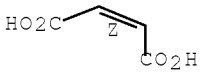
CRN 14530-33-7
CMF C15 H21 N O



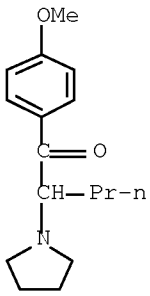
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



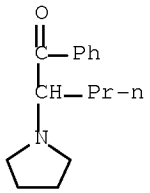
RN 14979-97-6 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14995-79-0 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-,
2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

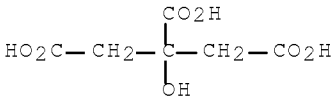
CM 1

CRN 14530-33-7
CMF C15 H21 N O



CM 2

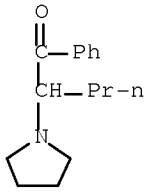
CRN 77-92-9
CMF C6 H8 O7



RN 16121-74-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, sulfate (1:?) (CA INDEX NAME)

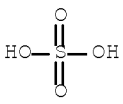
CM 1

CRN 14530-33-7
CMF C15 H21 N O



CM 2

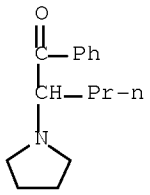
CRN 7664-93-9
CMF H2 O4 S



IT 100175-06-2P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(α-Pyrrolidino ketones)
RN 100175-06-2 CAPLUS
CN Valerophenone, 2-(1-pyrrolidinyl)-, hydrogen maleate (7CI) (CA INDEX NAME)

CM 1

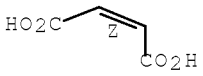
CRN 14530-33-7
CMF C15 H21 N O



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1967:28652 CAPLUS Full-text
DOCUMENT NUMBER: 66:28652
ORIGINAL REFERENCE NO.: 66:5443a
TITLE: Compositions and methods for stimulating the central nervous system and increasing the blood pressure
INVENTOR(S): Seeger, Ernst
PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3287217		19661122	US	<--
PRIORITY APPLN. INFO.:			DE	19600407

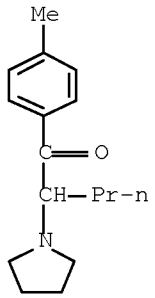
GI For diagram(s), see printed CA Issue.

AB The preparation of α-pyrrolidyl ketones, Ia, and their nontoxic acid salts is described. Thus, α-pyrrolidylvalerophenone (I) is prepared by addg. a solution of 19.2 g. α-bromovalerophenone in 40 ml. C6H6 to 28.6 g. pyrrolidone in 100 ml. C6H6, stirring 30 min., after several hrs. distilling C6H6 in vacuo, taking up the residue in dilute HCl, extracting with Et2O, making the aqueous solution alkaline with NaOH, taking the precipitate up in Et2O, drying and distilling the residue in vacuo to obtain I which may be transformed into the HCl salt, m. 162°, the acid sulfate, m. 140°, the tartrate, m. 148-9°, the maleate, m. 131°, or the citrate, m. 88°. 1-(p-Methylphenyl)-2-pyrrolidyl-1-pentanone-HCl, m. 174-6°, 1-phenyl-2-pyrrolidyl-1-methyl-1-butanone-HCl, m. 225-6°, 1-(p-methoxyphenyl)-2-pyrrolidyl-1-pentanone-HCl, m. 176-8°, 1-(p-hydroxyphenyl)-2-pyrrolidyl-1-pentanone-HCl, m. 250°, 1-phenyl-2-pyrrolidyl-1-butanone-HCl, m. 196-8°, 1-phenyl-2-pyrrolidyl-1-heptanone, m. 158°, 1-(p-chlorophenyl)-2-pyrrolidyl-1-pentanone-HCl, m. 205-7°, 1-(m-methylphenyl)-2-pyrrolidyl-1-pentanone-HCl, m. 164°, 1-phenyl-2-pyrrolidyl-1-nonanone, b. 152°, α-pyrrolidylvalerophenone-HCl, m. 162°, 1-phenyl-2-pyrrolidyl-1-hexanone-HCl, m. 139°, and α-(2-methylpyrrolidyl)valerophenone-HCl, m. 133-4°, were prepared in a similar manner. The compds. may be incorporated in tablets, pills, injectable solns., or drops and are effective central nervous system stimulants and raise blood pressure in humans at 10-50 mg. doses.

IT 1147-62-2P 3563-49-3P 5485-65-4P
5537-17-7P 5537-19-9P 5881-77-6P
13415-49-1P 13415-53-7P 13415-55-9P
13415-57-1P 13415-58-2P 13415-59-3P
13415-60-6P 13415-83-3P 13415-85-5P
13415-86-6P 13415-87-7P 14530-33-7P
14530-34-8P 14859-27-9P 14859-28-0P
14979-97-6P 14995-79-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 1147-62-2 CAPLUS

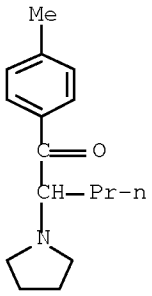
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



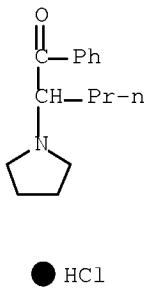
● HCl

RN 3563-49-3 CAPLUS

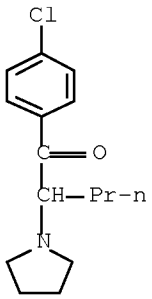
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



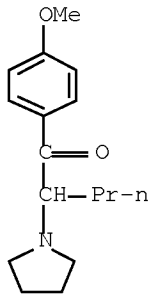
RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 5537-17-7 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

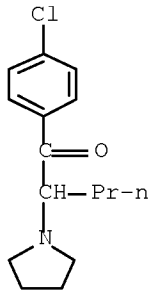


RN 5537-19-9 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

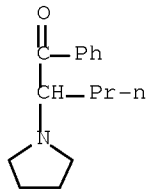
RN 5881-77-6 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 13415-49-1 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, sulfate (1:1) (CA INDEX NAME)

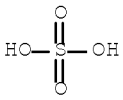
CM 1

CRN 14530-33-7
CMF C15 H21 N O

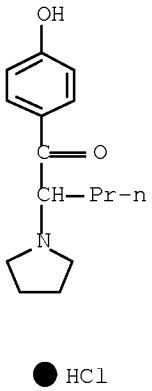


CM 2

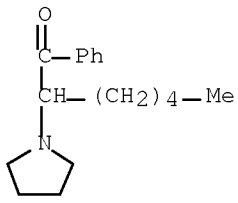
CRN 7664-93-9
CMF H2 O4 S



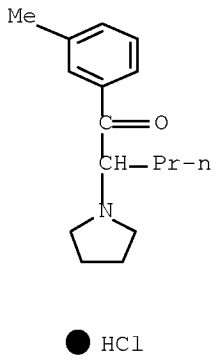
RN 13415-53-7 CAPLUS
CN 1-Pentanone, 1-(4-hydroxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



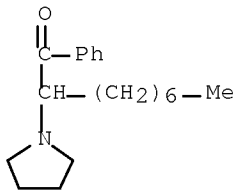
RN 13415-55-9 CAPLUS
CN 1-Heptanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



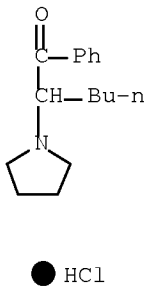
RN 13415-57-1 CAPLUS
CN 1-Pentanone, 1-(3-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



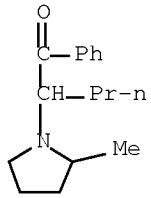
RN 13415-58-2 CAPLUS
CN 1-Nonanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



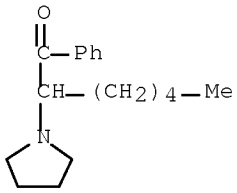
RN 13415-59-3 CAPLUS
CN 1-Hexanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



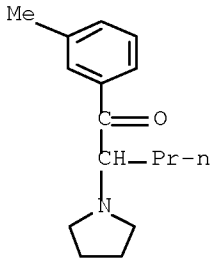
RN 13415-60-6 CAPLUS
CN 1-Pentanone, 2-(2-methyl-1-pyrrolidinyl)-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



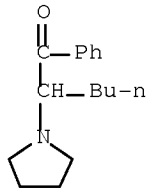
RN 13415-83-3 CAPLUS
CN 1-Heptanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



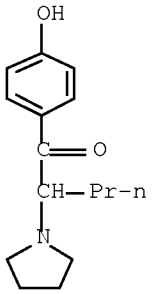
RN 13415-85-5 CAPLUS
CN 1-Pentanone, 1-(3-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



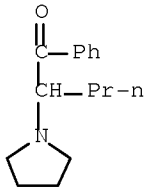
RN 13415-86-6 CAPLUS
CN 1-Hexanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



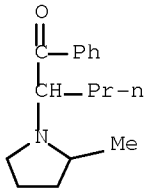
RN 13415-87-7 CAPLUS
CN 1-Pentanone, 1-(4-hydroxyphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14530-33-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



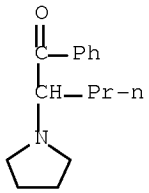
RN 14530-34-8 CAPLUS
CN 1-Pentanone, 2-(2-methyl-1-pyrrolidinyl)-1-phenyl- (CA INDEX NAME)



RN 14859-27-9 CAPLUS
CN Valerophenone, 2-(1-pyrrolidinyl)-, tartrate (7CI, 8CI) (CA INDEX NAME)

CM 1

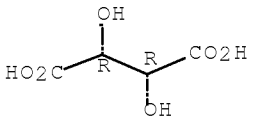
CRN 14530-33-7
CMF C15 H21 N O



CM 2

CRN 87-69-4
CMF C4 H6 O6

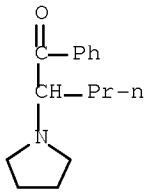
Absolute stereochemistry.



RN 14859-28-0 CAPLUS
CN Valerophenone, 2-(1-pyrrolidinyl)-, maleate (8CI) (CA INDEX NAME)

CM 1

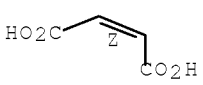
CRN 14530-33-7
CMF C15 H21 N O



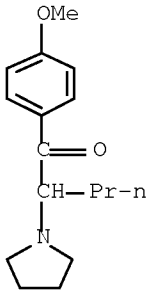
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



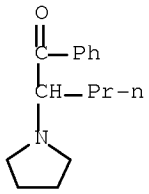
RN 14979-97-6 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14995-79-0 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-,
2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

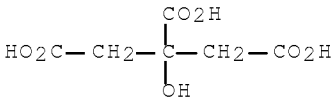
CM 1

CRN 14530-33-7
CMF C15 H21 N O



CM 2

CRN 77-92-9
CMF C6 H8 O7



L4 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:420742 CAPLUS Full-text
DOCUMENT NUMBER: 65:20742
ORIGINAL REFERENCE NO.: 65:3835f-h

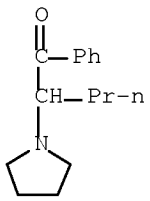
TITLE: Pyrrelidonyl-γ-butyramide
INVENTOR(S): Gensheimer, David E.; Wood, Andrew S.
PATENT ASSIGNEE(S): General Aniline & Film Corp.
SOURCE: 7 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3250784		19660510	US 1963-332785	19631223 <--
FR 1418616			FR	
PRIORITY APPLN. INFO.:			US	19631223

AB In preparation of 2-pyrrolidone from γ-butyrolactone and anhydrous NH3, if the mixture is preheated to 50-100° for 2.5 hrs. before the usual reaction at 140-220° followed by a period at 250-300° there is obtained an oily residue (I) (after distilling of 2-pyrrollidone) which contains pyrrolidonyl-γ-butyramide (II). I (270 g.) was slurried 10 min. with 600 ml. Me2CO and filtered. The residue (100 g.) was recrystd. from hot EtOAc to recover pure II, m. 99.8-100.5°. II was also prepared from 85 g. 2-pyrrolidone, 23 g. Na and 122 g. γ-chlorobutyramide by refluxing 4 hrs. II was saponified with one mole of KOH and acidified to recover pyrrolidonyl-γ-butyric acid, m. 89.0-9.5°. With excess alkali and acid there was obtained γ,γ'-aminodibutyric acid, m. 186.5-87° (decomposition).

IT 5485-65-4P, Valerophenone, 2-(1-pyrrolidinyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L4 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:420741 CAPLUS Full-text
DOCUMENT NUMBER: 65:20741
ORIGINAL REFERENCE NO.: 65:3835e-f
TITLE: α-Pyrrolidinovalerophenones
INVENTOR(S): Heffe, Wilhelm
PATENT ASSIGNEE(S): Dr. A. Wander A.-G.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

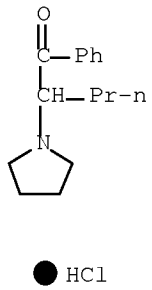
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 401054		19660430	CH 1961-286365	19610505 <--
PRIORITY APPLN. INFO.:			CH	19610505

AB α-Bromovalerophenone is treated with NaOMe, the epoxy methyl ether thus obtained (19 g.) heated with 35 g. pyrrolidine at 180° 7 hrs. in an autoclave, H2O added, the mixture extracted with C6H6, the organic phase washed with H2O, dried over Na2SO4, acidified with 2N HCl, and taken to dryness in vacuo to give 16 g. α-pyrrolidinovalerophenone-H2O.HCl (I), m. 104-6° (Me2CO). I is a central stimulant without undesirable side effects.

IT 5485-65-4P, Valerophenone, 2-(1-pyrrolidinyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 5485-65-4 CAPLUS

CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



L4 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:93344 CAPLUS Full-text
DOCUMENT NUMBER: 64:93344
ORIGINAL REFERENCE NO.: 64:17545b-e
TITLE: New 9,10-dihydroanthracene derivatives
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6508457		19660110	NL 1965-8457	19650701 <--
BE 666410			BE	
PRIORITY APPLN. INFO.:			CH	19640707

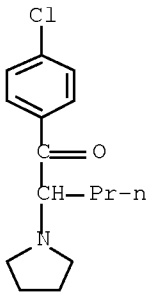
AB Title compds. were prepared by treating 9-anthrone derivs. with 2-pyrrolidinone derivs. in the presence of an alkali amide in a suitable solvent, subsequent reduction of the reaction product with LiAlH4 or diborane, decomposing the reduced compound, and splitting out H2O from the decomposition product and converting the latter to its acidic addition salt, if desired. The new compds. find application as medicines in the treatment of neurotic or

psychotic disturbances and also in psychosomatic therapy. Thus, a solution of 9.45 g. 10,10-dimethyl-9-anthrone in 20 cc. tetrahydrofuran (THF) was added to a suspension of 5.4 g. powdered $NaNH_2$ in 25 cc. 1-methyl-2-pyrrolidinone at 0-5° under stirring. The reaction mixture was poured in 300 cc. ice cold H_2O, and, after stirring 30 min. at 0° 45 min. at 20-5°, and after the addition of 200 cc. ether, stirred again 10 min. The ether layer was separated, washed several times with H_2O, dried, and evaporated to yield 9-hydroxy-10,10-dimethyl-9-[1-methyl-2-oxo-3-pyrrolidinyl]-9,10- dihydroanthracene (I), m. 118-120° (EtOH). To a suspension of 1.53 g. $LiAlH_4$ in 30 cc. absolute THF was added a solution of 7.70 g. I in 30 cc. THF at 5-10° under stirring. The reaction mixture was heated 2 hrs. and cooled whereafter 8-13 cc. of a saturated Na_2SO_4 solution was added to the mixture to give a precipitate which was filtered off and boiled several times with THF. The combined THF filtrates were evaporated to yield 9-hydroxy-10,10-dimethyl-9-[1-methyl-3-pyrrolidinyl] -9,10-dihydroanthracene (II), m. 196.5-197.5°. Then, 5.0 g. II in 70 cc. glacial HOAc and 20 cc. concentrated HCl were heated 1 hr. and the reaction mixture was evaporated in vacuo to give 10,10-dimethyl-9-[1-methyl-3-pyrrolidinylidene]-9,10-dihydroanthracene- HCl, m. 280-5° (decomposition).

IT 5881-77-6
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 5881-77-6 CAPLUS

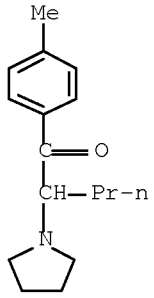
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



IT 1147-62-2P, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)-, hydrochloride 5485-65-4P, Valerophenone, 2-(1-pyrrolidinyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

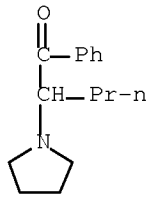
RN 1147-62-2 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L4 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:93343 CAPLUS Full-text
DOCUMENT NUMBER: 64:93343
ORIGINAL REFERENCE NO.: 64:17545a-b
TITLE: α-Pyrrolidinovalerophenones
INVENTOR(S): Heffe, Wilhelm
PATENT ASSIGNEE(S): Dr. A. Wander A.-G.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 395999		19660114	CH 1961-286565	19610505 <--

PRIORITY APPLN. INFO.: CH 19610505

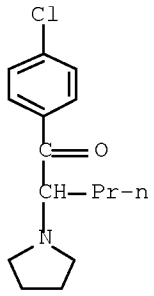
AB cf. preceding abstract The title compds. were prepared by the reaction of unsubstituted or substituted phenylmagnesium bromide with α-pyrrolidino-n-valeramide (I), followed by hydrolysis of the organometallic compound Thus, a Grignard reagent was prepared with 17 g. PhCl and 2.5 g. Mg in 100 ml.

absolute ether and 14 g. I in 150 ml. absolute dioxane added with stirring and cooling. The mixture was refluxed 10 hrs., the reaction product decomposed with ice and dilute HCl and the organic layer extracted twice with dilute HCl. The HCl-solns. were alkalized with NaOH, extracted with C6H6, and the extract washed (H2O), dried, and evaporated in vacuo to give 17 g. α-pyrrolidino-n-valerophenone-HCl monohydrate, m. 104-6° (Me2CO); the anhydrous compound m. 169-70°. Similarly were prepared the HCl salts of pyrrolidino-p-methoxy-, m. 177°, -p-methyl-, m. 178°, and -p-chloro-n-valerophenone, m. 203-8°. The new compds. are central stimulants.

IT 5881-77-6
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 5881-77-6 CAPLUS

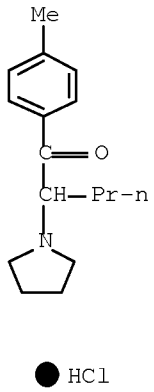
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



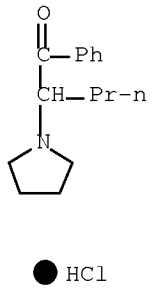
IT 1147-62-2P, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)-, hydrochloride 5485-65-4P, Valerophenone, 2-(1-pyrrolidinyl)-, hydrochloride 5537-17-7P, Valerophenone, 4'-chloro-2-(1-pyrrolidinyl)-, hydrochloride 5537-19-9P, Valerophenone, 4'-methoxy-2-(1-pyrrolidinyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 1147-62-2 CAPLUS

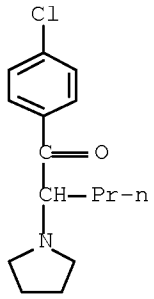
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



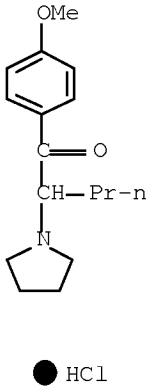
RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 5537-17-7 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 5537-19-9 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



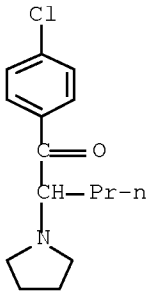
L4 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:93342 CAPLUS Full-text
DOCUMENT NUMBER: 64:93342
ORIGINAL REFERENCE NO.: 64:17544g-h,17545a
TITLE: α-Pyrrolidinovalerophenones
INVENTOR(S): Heffe, Wilhelm
PATENT ASSIGNEE(S): Dr. A. Wander A.-G.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 395998		19660114	CH 1961-286465	19610505 <--
PRIORITY APPLN. INFO.:			CH	19610505

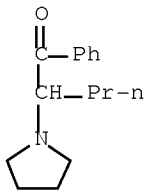
AB cf. following abstract The title compds., I, where R is H, Cl, Me, or MeO, were prepared by oxidation of the corresponding 1-phenyl-2-pyrrolidino-1-pentanols with CrO3 or an alkali metal dichromate. Thus, a solution of 10 g. Na2Cr2O7 in 50 ml. H2O and 15 ml. concentrated H2SO4 was added to 19 g. 1-phenyl-2-pyrrolidino-1-pentanol in 50 ml. H2O and 6 ml. concentrated H2SO4 with stirring, the mixture stirred 3 hrs. at room temperature, alkalized, and extracted with C6H6. The exts. were washed with H2O, dried over Na2SO4, acidified with 2N HCl, and evaporated to dryness to give 15 g. monohydrate of α-pyrrolidino-n-valerophenone-HCl, m. 104-6° (Me2CO); anhydrous salt m. 169-70°. Similarly prepared were the following HCl salts (R and m.p. given): MeO, 177°; Me, 178°; Cl, 203-8°.

IT 5881-77-6
(Derived from data in the 7th Collective Formula Index (1962-1966))

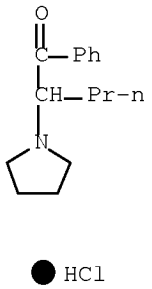
RN 5881-77-6 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



IT 14530-33-7, Valerophenone, 2-(1-pyrrolidinyl)-
(derivs.)
RN 14530-33-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



IT 5485-65-4P, Valerophenone, 2-(1-pyrrolidinyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)
RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



L4 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1966:84496 CAPLUS Full-text
DOCUMENT NUMBER: 64:84496
ORIGINAL REFERENCE NO.: 64:15845d-e
TITLE: α-Pyrrolidino-p-chlorovalerophenone

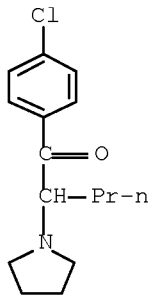
INVENTOR(S): Heffe, Wilhelm
PATENT ASSIGNEE(S): Dr. A. Wander A.-G.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 395997		19660114	CH 1961-5295	19610505 <--
PRIORITY APPLN. INFO.:			CH	19610505

AB N-p-Chlorophenacyl-N-allylpyrrolidinium bromide (44.7 g.) was treated at 100° 15 min. with 100 cc. 2N NaOH, cooled, the oily layer extracted with C6H6, the C6H6 solution acidified with 65 cc. 2N HCl, and evaporated to give 92% α-pyrrolidino-α-allyl-p-chloroacetophenone hydrochloride (I). I (17.95 g.) in 150 cc. MeOH was treated with H in the presence of 0.5 g. Pd-C, filtered, evaporated, and crystallized to give 90% α-pyrrolidino-p-chloro-n-valerophenone hydrochloride, m. 203-8°, with central stimulating activity.

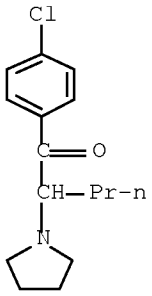
IT 5537-17-7P, Valerophenone, 4'-chloro-2-(1-pyrrolidinyl)-, hydrochloride 5881-77-6P, Valerophenone, 4'-chloro-2-(1-pyrrolidinyl)-
RL: PREP (Preparation)
(preparation of)

RN 5537-17-7 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

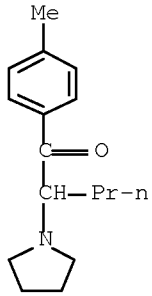
RN 5881-77-6 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:475308 CAPLUS Full-text
DOCUMENT NUMBER: 63:75308
ORIGINAL REFERENCE NO.: 63:13912d-f
TITLE: Experimental psychologic differentiation between the effect of two psychostimulating pharmaceuticals (F-1983 and amphetamine) in humans
AUTHOR(S): Heilmann, H.; Lukacs, G.
CORPORATE SOURCE: Psychiat. Univ., Lausanne, Switz.
SOURCE: Psychopharmacologia (1965), 8(2), 79-90
CODEN: PSYPAG; ISSN: 0033-3158
DOCUMENT TYPE: Journal
LANGUAGE: German

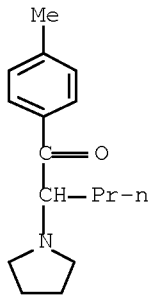
AB Oral doses of 10 mg. of amphetamine (I) and 60 mg. of 1-(p-tolyl)-1-oxo-2-pyrrolidinopentane-HCl (II) were given after a sleepless night to human subjects who were then subjected to short periods of psychological and motor stresses. II more effectively than I, increased the number of correct responses and prevented the decrease in motor efficiency caused by fatigue. During the accommodation phase, a period of moderate stress, a slight decrease in correct responses and a larger decrease in incorrect responses occurred with both psychostimulants. During the period of acute stress which followed, I significantly de-decreased the correct and incorrect reactions as well as the total activity, whereas II produced an insignificant increase in the responses and a placebo significantly increased the incorrect responses and the total activity. In the following transition phase, again moderate stress, all groups had increases in the correct responses and total activity. A relaxation period, in which both II and I showed no significant decrease in total efficiency and no significant increase in the correct responses, concluded the experiment The difference between the 2 drugs was related to the more important activation of motor function produced by I.

IT 1147-62-2, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)-, hydrochloride
(effect on mental activity in fatigue)
RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



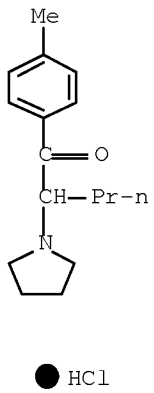
● HCl

L4 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:11677 CAPLUS Full-text
DOCUMENT NUMBER: 62:11677
ORIGINAL REFERENCE NO.: 62:2162a-b
TITLE: Compound 84/F 1983 compared with d-amphetamine and placebo in regard to effects on human performance
AUTHOR(S): Holliday, Audrey R.; Morris, Richard B.; Sharpley, Robert P.
CORPORATE SOURCE: Univ. of Washington, Seattle
SOURCE: Psychopharmacologia (1964), 6, 192-200
CODEN: PSYPAG; ISSN: 0033-3158
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Compound 84/F 1983 or 4'-methyl-2-(1-pyrrolidinyl)valerophenone-HCl (I), 30 or 60 mg., or 10 mg. d-amphetamine sulfate (II) were given orally after moderate sleep deprivation and fatigue. Mathematical task performance showed 60 mg. I to be more effective than 30 mg. I or 10 mg. II in 1 hr., but the means for 30 and 60 mg. I, and 10 mg. II remained almost identical for 2 hrs.
IT 1147-62-2, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)-, hydrochloride
(effect on mental activity, d-amphetamine and)
RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



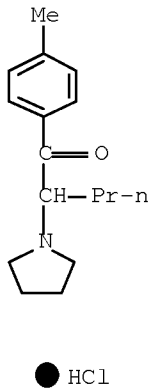
● HCl

L4 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:11676 CAPLUS Full-text
DOCUMENT NUMBER: 62:11676
ORIGINAL REFERENCE NO.: 62:2161h,2162a
TITLE: A comparative evaluation of the action of depressant and stimulant drugs on human performance
AUTHOR(S): Blum, B.; Stern, M. H.; Melville, K. I.
CORPORATE SOURCE: McGill Univ., Montreal, Can.
SOURCE: Psychopharmacologia (1964), 6, 173-7
CODEN: PSYPAG; ISSN: 0033-3158
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Tests were performed on 24-hr.-fasted humans, using oral drugs, as follows: alc., 10 ml., did not influence reaction time or digit symbol substitution, but did increase serial addition errors. Alc., 20 ml., did not affect motor tasks, but inhibited the performance of intellectual tasks. Na pentobarbital, 150 mg., depressed psychomotor and intellectual ability. Caffeine, 100 or 200 mg., decreased serial errors, but did not affect motor tasks. d-Amphetamine did not affect motor tasks or simple intellectual tasks, but did improve performance of the adding tasks.
IT 1147-62-2
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



L4 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:11675 CAPLUS Full-text
DOCUMENT NUMBER: 62:11675
ORIGINAL REFERENCE NO.: 62:2161g-h
TITLE: The medical treatment of spasticity [with phenol injections]
AUTHOR(S): Maher, R. M.
CORPORATE SOURCE: Pain Relief Center, Manchester, UK
SOURCE: Proceedings of the Royal Society of Medicine (1964), 57(8), 720-3

CODEN: PRSMA4; ISSN: 0035-9157
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Old and new data are given on the pharmacol. effects of phenol (I) and other drugs in spasticity, with stress on the pharmacotherapeutic effects and side effects of I injections into various nerve areas. Some adverse side effects were avoided by 1st injecting an inert filler (Myodil) into which the I could diffuse. I showed a marked anesthetic effect against pain and spasticity but required precautions to avoid producing paraplegia and urinary dysfunction.
IT 1147-62-2
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

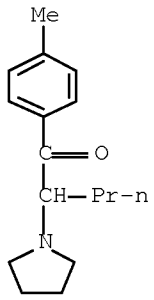


L4 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1964:440312 CAPLUS Full-text
DOCUMENT NUMBER: 61:40312
ORIGINAL REFERENCE NO.: 61:6979c-h
TITLE: Stevens rearrangement of allylphenacylammonium salts
AUTHOR(S): Heffe, W.
CORPORATE SOURCE: Forschungsinst. Dr. A. Wander A.-G., Bern, Switz.
SOURCE: Helvetica Chimica Acta (1964), 47(5), 1289-92
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 61:40312
GI For diagram(s), see printed CA Issue.
AB cf. CA 59, 2627f. ω-Bromo-p-methylacetophenone (9.6 g.) was refluxed 40 min. with 10 ml. pyrrolidine (I) in 50 ml. C6H6, the organic layer washed, dried and the solvent evaporated in vacuo, the residue neutralized with 2N HBr, and the solution evaporated to yield 9.3 g. ω-pyrrolidino-p-methylacetophenone-HBr (II), m. 194-6° [MeOH- Me2CO Et2O (solvent A)]. Similarly prepared was ω-pyrrolidinoacetophenone-HBr (III), m. 186° (MeOH-Et2O). II (48 g.) treated with dilute NaOH, the free base in C6H6 heated 2 hrs. at 50° with 20 ml. allyl bromide, and petr. ether added gave 46.5 g. N-allyl-N-(p-methylphenacyl)pyrrolidinium bromide (IV), m. 168° (solvent A). IV (47 g.) was heated with 130 ml. 2N NaOH, 10 min., and the Et2O extract neutralized with dilute HCl and evaporated to give 36 g. 1-p-tolyl-2-pyrrolidino-4-penten-

1one-HCl (V), m. 196° (solvent A). Hydrogenation of V at 30° and 1 atmospheric with 1 mole H, (0.5 g. 5% Pd-C) gave 91% 1-p-tolyl-2-pyrrolidino-4-pentan-1-one-HCl (VI), m. 178° (solvent A). Alternatively, 20 g. p-methylvalerophenone was treated with 5.8 ml. Br in 100 ml. CHCl3, the organic layer washed, dried, and evaporated, the residue refluxed 1 hr. with 25 ml. I, and the organic phase neutralized with alc. HCl and evaporated to yield 22.6 g.V. Similarly to IV, 25.5 g. α-pyrrolidinoacetophenone, and 18 g. crotyl bromide yielded 21 g. N-crotyl-N-phenacylpyrrolidinium bromide (VI), m. 168° (solvent A). VII 121 g.) treated with 36 ml. 2N NaOH and the mixture neutralized gave 16 g. 1-phenyl-2-pyrrolidino-3-methyl-4-penten-1-one-HCl (VII), m. 192° (EtOH-Et2O). Hydrogenation of 11 g. VII yielded 10.3 g. 1-phenyl-2-pyrrolidino-3-methylpentan-1-one-HCl (VIIa), m. 198-9° (solvent A); HBr salt m. 223°. Refluxing 42 g. 3-methylvaleroyl chloride with 55 g. AlCl3 in 150 ml. C6H6 to give 52 g. β-methylvalerophenone, b15 140°, brominating the reaction product with 15 ml. Br in 150 ml. CHCl3, and then treating with 60 ml. I in 80 ml. C6H6 and neutralizing with HCl gave 43 g. VII. Similarly to III was prepared α-pyrrolidinopropiophenone-HBr, m. 189° (MeOH Et2O), which was used to prepare N-allyl-N-(ω- methylphenacyl)pyrrolidinium bromide (VIII), m 141-3°. 1-Phenyl-2-methyl-2-pyrrolidino-4-penten-1-one-HCl (IX) m. 96°; 1-phenyl-2-methyl-2-pyrrolidinopentan-1-one-HCl (X) m. 170°. From the rearrangement of IV and VI it was concluded that the crotyl group, rather than the phenacyl group, rearranged. The mol. structure of the rearrangement product IX from VIII was proven by determination of the nuclear magnetic resonance spectrum of X. The migration of the crotyl group was accompanied by a shift of the double bond similar to the allyl rearrangement.

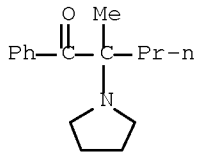
IT 1147-62-2P, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)-, hydrochloride 96952-35-1P, Valerophenone, 2 methyl-2-(1-pyrrolidinyl)-, hydrochloride 857373-06-9P, Valerophenone, 3-methyl-2-(1-pyrrolidinyl)-, hydrochloride 857373-07-0P, Valerophenone, 3-methyl-2-(1-pyrrolidinyl)-, hydrobromide
RL: PREP (Preparation)
(preparation of)

RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

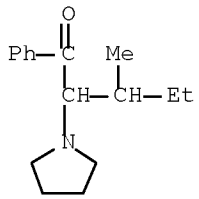


● HCl

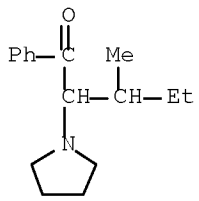
RN 96952-35-1 CAPLUS
CN 1-Pentanone, 2-methyl-1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 857373-06-9 CAPLUS
CN 1-Pentanone, 3-methyl-1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1)
(CA INDEX NAME)



RN 857373-07-0 CAPLUS
CN 1-Pentanone, 3-methyl-1-phenyl-2-(1-pyrrolidinyl)-, hydrobromide (1:1)
(CA INDEX NAME)



L4 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1964:41506 CAPLUS Full-text
DOCUMENT NUMBER: 60:41506
ORIGINAL REFERENCE NO.: 60:7335b-d
TITLE: Comparative pharmacological investigation of a new

central stimulant,
1-(p-tolyl)-1-oxo-2-(1-pyrrolidinyl)pentane
hydrochloride

AUTHOR(S): Stille, G.; Ackermann, H.; Eichenberger, E.; Lauener, H.

CORPORATE SOURCE: Dr. A. Wander A. G., Bern, Switz.

SOURCE: Arzneimittel-Forschung (1963), 13, 871-7
CODEN: ARZNAD; ISSN: 0004-4172

DOCUMENT TYPE: Journal

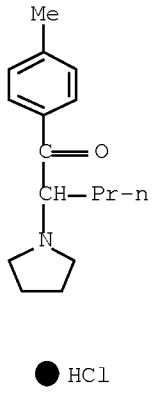
LANGUAGE: Unavailable

AB This compound (I), also called F-1983, is a central stimulant which differs from amphetamine (II) in that it intensifies the fighting tendency of mice when given in doses following which no appreciable increase in motility can be recorded; the dose which can raise hexobarbitone-inhibited locomotor activity of mice by 200% is less than 1/20 of the dose required for non-pretreated animals. It has only slight circulatory, respiratory, and intestinal effects, and it acts on elec. brain function even after fairly small doses. The site of attack of I lies, as with II, in the bulbomesencephalic reticular formation. 21 references.

IT 1147-62-2, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)-, hydrochloride
(nervous system stimulation by)

RN 1147-62-2 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



L4 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1964:3106 CAPLUS Full-text

DOCUMENT NUMBER: 60:3106

ORIGINAL REFERENCE NO.: 60:505e-h,506a

TITLE: Tetracyclic lactams

INVENTOR(S): Petrzilka, Theodor; Frey, Albert; Ott, Hans; Schenk, Hans Ruedi; Troxler, Franz; Hofmann, Albert

PATENT ASSIGNEE(S): Sandoz Ltd.

SOURCE: 7 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 360058		19620330	CH	19570522 <--
DE 1166208			DE	

PRIORITY APPLN. INFO.: CH 19570522

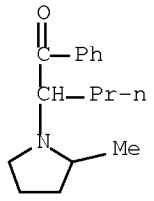
GI For diagram(s), see printed CA Issue.

AB I, useful as intermediates in the synthesis of reserpine and related alkaloids, were prepared Thus, 3.38 g. (-)-1,2,3,4,7,8,9α,10α-octahydro-2α-methoxy-3β- acetoxy-7-oxo-1β-naphthoic acid, m. 223-5°, was dissolved in 24 ml. dioxane, the solution treated with 36 mg. solid OsO4, and then with 10.06 g. crystalline NaIO4 in 120 ml. H2O. After 14 hrs., the solution was extracted with EtOAc. The dried extract was treated with Et2OCH2N2 to a permanent yellow color. The aldehyde ester thus produced was condensed with 7-methoxytryptamine and the Schiff base thus formed reduced and saponified to give 56% I (R1 = R2 = R3 = R6 = H, R4 = MeO, R5 = Me),m. 145-7° (aqueous MeOH), [α]20D 45° (c 0.2, pyridine). Similarly, the following I were prepared [R1, R2, R3, R4, R5, R6, m.p., [α]20D, c (in pyridine), and % yield given]: H, H, EtO, H, Me, H, 152-4° (MeOH-H2O), 39°, 0.3, 55; H, H, PhCH2O, H, Me, H, 159-65° (Me2CO-H2O), 36°, 0.5, 80; H, PhCH2O, H, H, Me, H, 125-8° (MeOH-H2O), 42°, 0.4, 79; Cl, H, H, H, Me, H, 140-5° (MeOH-H2O), 55°, 0.3, 72; H, (R2R3=) OCH2O, H, Me, H, 154-5° (MeOH-H2O), 47°, 0.3, 59; H, MeO, MeO, H, Me, H, 128-30° (MeOH-H2O), 38°, 0.2, 46; H, (R2R3=) OCH2O, H, Me, H, 151-3° (MeOH-H2O), -49°, 0.3, 58; MeO, H, H, H, Me, H, 235-7° (MeOH), 45°, 0.2, 66; H, H, iso-PrO, H, Me, H, 158-61° (MeOH-H2O), 36°, 0.2, 55; H, H, MeO, H, iso-Pr, H, 139° (Me2CO), 47°, 0.2, 80; MeO, H, H, H, Me, H, 235-7° (MeOH), 45°, 0.2, -; Br, H, H H, Me, H, 248-50° (MeOH-H2O), 51°, 0.2, -; H, H, Br, H, Me, H, 166-70° (MeOH), 47°, 0.2, -; H, H, Cl, H, Me, H, 130-3° (MeOH-H2O), 51°, 0.2, -; H, H, PrO, H, Me, H, 160-2° (MeOH-H2O), 41°, 0.2 -; H, H, BuO, H, Me, H, 136-5° (MeOH-H2O), 53°, 0.2, -, H, H, MeO, H, Et, H, -, -, -, -; H, H, MeO, H, Pr, H, -, -, -, -; H, H, MeO, H, iso-Pr, H, 139° (Me2CO), 47°, 0.2, -,; H, H, H, H, Me, Me, -, -, -, -; and H, H, MeO, H, Me, Me, -, -, -, -.

IT 13415-60-6P, Valerophenone, 2-(2-methyl-1-pyrrolidinyl)-, hydrochloride 14530-34-8P, Valerophenone, 2-(2-methyl-1-pyrrolidinyl)-
RL: PREP (Preparation)
(preparation of)

RN 13415-60-6 CAPLUS

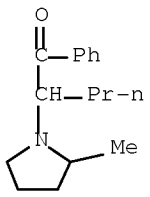
CN 1-Pentanone, 2-(2-methyl-1-pyrrolidinyl)-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 14530-34-8 CAPLUS

CN 1-Pentanone, 2-(2-methyl-1-pyrrolidinyl)-1-phenyl- (CA INDEX NAME)



L4 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1964:3105 CAPLUS Full-text
DOCUMENT NUMBER: 60:3105
ORIGINAL REFERENCE NO.: 60:505a-e
TITLE: α-Pyrrolidino ketones
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 933507		19630808	GB 1961-12040	19610404 <--
DE 1161274			DE	
PRIORITY APPLN. INFO.:			DE	19600407

AB α-Pyrrolidino ketones are prepared from α-halo ketones and pyrrolidine in inert dry solvents. A solution of 19.2 g. BzCHBrPr in 40 ml. C6H6 at 40° is added slowly with stirring to 11.2 g. pyrrolidine in 40 ml. C6H6, and the mixture kept several hrs. and worked up to give 18 g. α-pyrrolidinovalerophenone, b0.15 113°; HCl salt m. 162° (Me2CO); acid sulfate m. 140° (iso-PrOH); maleate m. 131° (Me2CO); tartrate m. 148-9° (iso-PrOH); and citrate m. 88° (Me2CO) (decomposition). A solution of 28.6 g. pyrrolidine in 100 ml. C6H6 is added slowly to 25.6 g. 4-MeC6H4COCHBrPr in 80 ml. C6H6 at 35-40° and the mixture stirred 5 hrs. at room temperature to yield 20 g. 1-(p-tolyl)-2-pyrrolidinopentan-1-one, b0.08 104°; HCl salt m. 174-6° (MeCOEt). A solution of 35 g. pyrrolidine in 100 ml. C6H6 is added slowly to a stirred solution of 42 g. BzCHBrPr-iso in 100 ml. C6H6, and the mixture kept 2 hrs. at 35-40° and 16-20 hrs. at room temperature gave 10 g. 1-phenyl-2-pyrrolidino-3-methylbutan-1-one, b0.5 126°; HCl salt m. 225-6° (Me2CO-EtOH). Similarly, 20 g. 4-MeOC6H4COCHBrPr and 22.4 g. pyrrolidine gave 14 g. 1-(4-methoxyphenyl)-2-pyrrolidinopentan-1-one (I), b0.25 147°; HCl salt m. 176-8° (MeCOEt). A mixture of 5 g. I, 15 ml. HOAc, and 10 ml. 70% HI is refluxed 1.5 hrs. and worked up to give 2 g. HCl salt of 1-(4-hydroxyphenyl)-2-pyrrolidinopentan-1-one, m. 250° (Me2CO). A mixture of 22.6 g. BzCHBrEt and 28.4 g. pyrrolidine in C6H6 yielded 15 g. 1-phenyl-2-pyrrolidinobutan-1-one, b0.05 94°; HCl salt m. 196-8° (MeCOEt). A mixture of 27 g. BzCHBrAm and 14.2 g. pyrrolidine in C6H6, yielded 15 g. 1-phenyl-2-pyrrolidinoheptan-1-one, b0.1 136-40°; HCl salt m. 158° (Me2CO-EtOH). A mixture of 27.5 g. 4-ClC6H4COCHBrPr and 28.4 g. pyrrolidine in C6H6 yielded 18 g. 1-(4-chlorophenyl)-2-pyrrolidinopentan-1-one, b0.1 126- 30°; HCl salt m. 205-7° (Me2CO). A mixture of 3-MeC6H4COCHBrPr and 10.5 g. pyrrolidine in C6H6 yielded 9 g. 1-(3-methylphenyl)-2-pyrrolidinopentan-1- one, b0.15 116-18° HCl salt m. 164° (Me2CO). A mixture of 28 g. pyrrolidine and 30 g. BzCHBr(CH2)6Me in 100 ml. C6H6 kept 4 hrs. at 40-50° yielded 17 g. 1-phenyl-2-pyrrolidinononan-1-one, b0.1 152°. A mixture of 18.5 g. BzCHBrBu and 11.5 g. pyrrolidine in 35 ml. C6H6 kept 3 hrs. yielded 12 g. 1-phenyl-2-pyrrolidinohexan-1-one, b0.45 128-

9°; HCl salt m. 139° (Me2CO). A mixture of 9.6 g. BzCHBrPr and 7 g. 2-methylpyrrolidine in 30 ml. C6H6 kept 4 hrs. at 40° yielded 7 g. 1-phenyl-2-(2-methylpyrrolidino)pentan-1-one, b0.2 127-8°; HCl salt m. 133-4° (Me2CO). These compds. have a low toxicity and are pronounced central nervous system stimulants having markedly greater activity than the corresponding 2-piperidino derivs. Examples of dosage compns. are given.

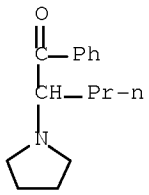
IT 100175-06-2
(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 100175-06-2 CAPLUS

CN Valerophenone, 2-(1-pyrrolidinyl)-, hydrogen maleate (7CI) (CA INDEX NAME)

CM 1

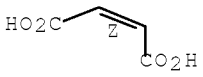
CRN 14530-33-7
CMF C15 H21 N O



CM 2

CRN 110-16-7
CMF C4 H4 O4

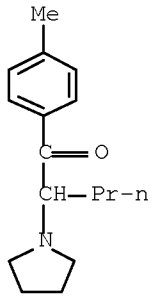
Double bond geometry as shown.



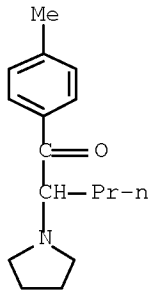
IT 1147-62-2P, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)-, hydrochloride 3563-49-3P, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)- 5485-65-4P, Valerophenone, 2-(1-pyrrolidinyl)-, hydrochloride 5537-17-7P, Valerophenone, 4'-chloro-2-(1-pyrrolidinyl)-, hydrochloride 5537-19-9P, Valerophenone, 4'-methoxy-2-(1-pyrrolidinyl)-, hydrochloride 5881-77-6P, Valerophenone, 4'-chloro-2-(1-pyrrolidinyl)- 13415-53-7P, Valerophenone, 4'-hydroxy-2-(1-pyrrolidinyl)-, hydrochloride 13415-55-9P, Heptanophenone, 2-(1-pyrrolidinyl)-, hydrochloride 13415-57-1P, Valerophenone, 3'-methyl-2-(1-pyrrolidinyl)-, hydrochloride 13415-58-2P, Nonanophenone, 2-(1-pyrrolidinyl)- 13415-59-3P, Hexanophenone, 2-(1-pyrrolidinyl)-, hydrochloride 13415-60-6P, Valerophenone, 2-(2-methyl-1-pyrrolidinyl)-, hydrochloride 13415-83-3P, Heptanophenone, 2-(1-pyrrolidinyl)- 13415-85-5P, Valerophenone, 3'-methyl-2-(1-pyrrolidinyl)- 13415-86-6P, Hexanophenone,

2-(1-pyrrolidinyl)- 14530-33-7P, Valerophenone,
2-(1-pyrrolidinyl)- 14530-34-8P, Valerophenone,
2-(2-methyl-1-pyrrolidinyl)- 14859-27-9P, Valerophenone,
2-(1-pyrrolidinyl)-, tartrate 14859-28-0P, Valerophenone,
2-(1-pyrrolidinyl)-, maleate 14979-97-6P, Valerophenone,
4'-methoxy-2-(1-pyrrolidinyl)- 14995-79-0P, Valerophenone,
2-(1-pyrrolidinyl)-, citrate 16121-74-7P, Valerophenone,
2-(1-pyrrolidinyl)-, sulfate
RL: PREP (Preparation)
(preparation of)

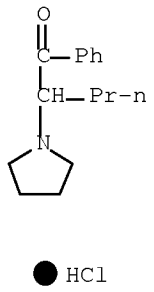
RN 1147-62-2 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



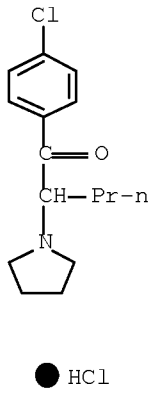
RN 3563-49-3 CAPLUS
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



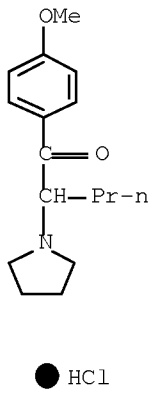
RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



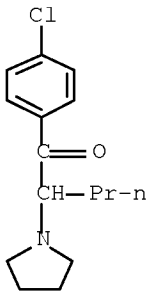
RN 5537-17-7 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1)
(CA INDEX NAME)



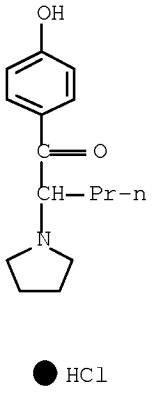
RN 5537-19-9 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1)
(CA INDEX NAME)



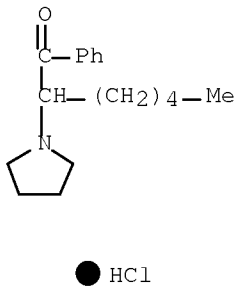
RN 5881-77-6 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



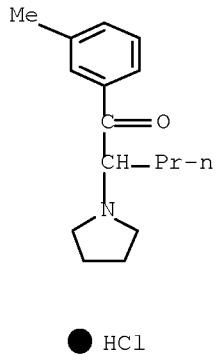
RN 13415-53-7 CAPLUS
CN 1-Pentanone, 1-(4-hydroxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



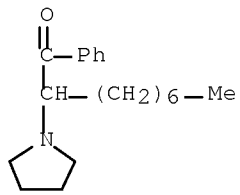
RN 13415-55-9 CAPLUS
CN 1-Heptanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



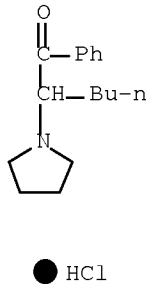
RN 13415-57-1 CAPLUS
CN 1-Pentanone, 1-(3-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



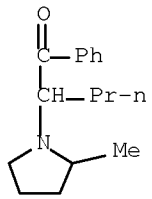
RN 13415-58-2 CAPLUS
CN 1-Nonanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 13415-59-3 CAPLUS
CN 1-Hexanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

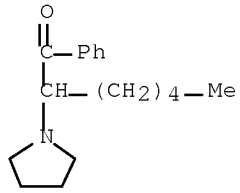


RN 13415-60-6 CAPLUS
CN 1-Pentanone, 2-(2-methyl-1-pyrrolidinyl)-1-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

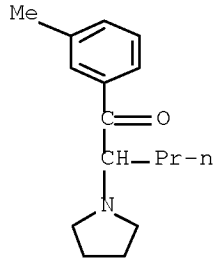


● HCl

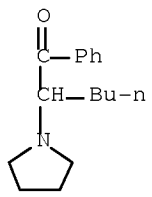
RN 13415-83-3 CAPLUS
CN 1-Heptanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



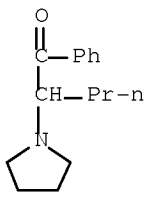
RN 13415-85-5 CAPLUS
CN 1-Pentanone, 1-(3-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



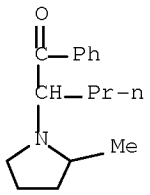
RN 13415-86-6 CAPLUS
CN 1-Hexanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14530-33-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



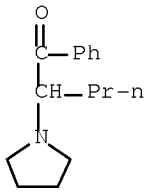
RN 14530-34-8 CAPLUS
CN 1-Pentanone, 2-(2-methyl-1-pyrrolidinyl)-1-phenyl- (CA INDEX NAME)



RN 14859-27-9 CAPLUS
CN Valerophenone, 2-(1-pyrrolidinyl)-, tartrate (7CI, 8CI) (CA INDEX NAME)

CM 1

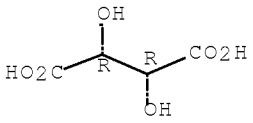
CRN 14530-33-7
CMF C15 H21 N O



CM 2

CRN 87-69-4
CMF C4 H6 O6

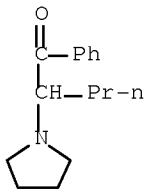
Absolute stereochemistry.



RN 14859-28-0 CAPLUS
CN Valerophenone, 2-(1-pyrrolidinyl)-, maleate (8CI) (CA INDEX NAME)

CM 1

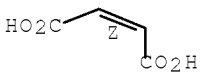
CRN 14530-33-7
CMF C15 H21 N O



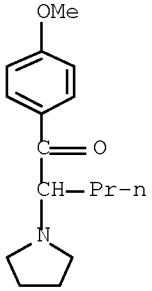
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



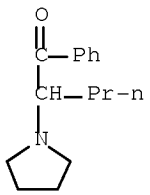
RN 14979-97-6 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14995-79-0 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-,
2-hydroxy-1,2,3-propanetricarboxylate (1:?) (CA INDEX NAME)

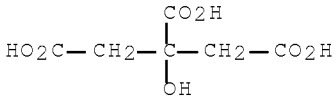
CM 1

CRN 14530-33-7
CMF C15 H21 N O



CM 2

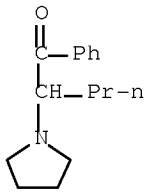
CRN 77-92-9
CMF C6 H8 O7



RN 16121-74-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, sulfate (1:?) (CA INDEX NAME)

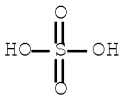
CM 1

CRN 14530-33-7
CMF C15 H21 N O



CM 2

CRN 7664-93-9
CMF H2 O4 S



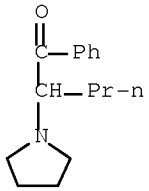
L4 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1964:3104 CAPLUS Full-text
DOCUMENT NUMBER: 60:3104
ORIGINAL REFERENCE NO.: 60:504g-h,505a
TITLE: 2,3-Dicyanothiophanthraquinone
INVENTOR(S): Erdmann, Dietrich; van Schoor, Albert; Flemming, Horst; Jacobi, Ernst
PATENT ASSIGNEE(S): E. Merck A.-G.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1149934		19630606	DE 1958-M39980	19581218 <--
PRIORITY APPLN. INFO.:			DE	19581218

AB Treatment of 2,3-dichloronaphthoquinone with the sodium salt of 1,2-dimercapto-1,2-dicyanoethylene gave 2,3-dicyano-1,4-dithiaanthraquinone, which when heated dry or in an inert solvent, e.g. naphthalene, nitrobenzene, dimethylformamide, chlorobenzene, in the range 200-80° gave off sulfur to form the title compound (I), m. 286-8°. Tests with Venturia inaequalis showed I 8 times as effective as captan as a fungicide while other tests showed no phytotoxicity.
IT 100175-06-2
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 100175-06-2 CAPLUS
CN Valerophenone, 2-(1-pyrrolidinyl)-, hydrogen maleate (7CI) (CA INDEX NAME)

CM 1

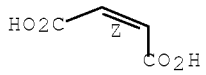
CRN 14530-33-7
CMF C15 H21 N O



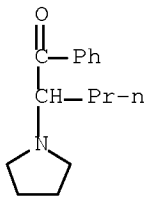
CM 2

CRN 110-16-7
CMF C4 H4 O4

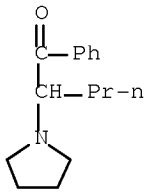
Double bond geometry as shown.



IT 5485-65-4P, Valerophenone, 2-(1-pyrrolidinyl)-, hydrochloride
14530-33-7P, Valerophenone, 2-(1-pyrrolidinyl)-
RL: PREP (Preparation)
(preparation of)
RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 14530-33-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



L4 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:462154 CAPLUS Full-text
DOCUMENT NUMBER: 59:62154
ORIGINAL REFERENCE NO.: 59:11431d-h

TITLE: α-Pyrrolidinovalerophenones
PATENT ASSIGNEE(S): Dr. A. Wander AG
SOURCE: 7 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

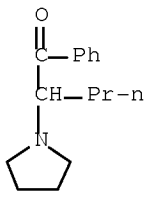
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 927475		19630529	GB 1961-18205	19610518 <--
CH 402859			CH	
CH 402862			CH	
PRIORITY APPLN. INFO.:			CH	19600524

AB Preparation of title compds. was described. These products are stimulants. α-Bromo-p-methoxyvalerophenone (50 g.) in 75 ml. C6H6 and 50 ml. pyrrolidine (I) left 12 hrs. at room temperature and refluxed 1 hr. gave 38.5 g. α-pyrrolidino-p-methoxyvalerophenone-HCl (II), m. 177°. α-Bromo-p-methylvalerophenone (23.1 g.) similarly treated with pyrrolidine and acidified gave 22.6 g. α-pyrrolidino-p-methylvalerophenone-HCl, m. 178°. N-p-Methoxyphenacyl-N-allylpyrrolidinium bromide (44 g.) treated 15 min. with 100 ml. 2N NaOH and the product acidified gave 35 g. α-pyrrolidino-α-allyl-p-methoxyacetophenone-HCl (III), m. 183°. III (17.7 g.) hydrogenated in 150 ml. MeOH over Pd-C for 25 min. gave 16.1 g. α-pyrrolidino-p-methoxyvalerophenone-HCl, m. 177°. Similarly, 47 g. N-p-methylphenacyl-N-allylpyrrolidinium bromide afforded 36 g. α-allyl-α-pyrrolidino-p- methylacetophenone-HCl (IV), m. 196°. Hydrogenation of 14 g. IV gave 12.8 g. α-pyrrolidino-p-methylvalerophenone-HCl, m. 178°. Valeroyl chloride (50 g.) added dropwise at 25-30° to 60 g. AlCl3 in 200 ml. PhCl, the mixture warmed 0.5 hr., decomposed, and the product extracted, and distilled gave 70.3 g. p-chlorovalerophenone (V), b12 140° V (10 g.) in 30-ml. CHCl3 treated with 2.6 ml. Br in 10 ml. CHCl3, the mixture evaporated, the residue dissolved in C6H6, and left 3 hrs. at 20° with 10.5 ml. pyrrolidine, and acidified gave 9.4 g. α-pyrrolidino-p-chlorovalerophenone-HCl, m. 203-8°. Br (235 ml.) and 500 ml. CHCl3 added in 35 min. to 750 g. valerophenone, in 2.5 l. CHCl3, the mixture stirred 15 min., and evaporated gave 1104 g. α-bromovalero-phenone (VI), b22 159°. VI (275 g.) in 700 ml. C6H6 mixed at 0° with 220 ml. pyrrolidine, the whole left 3 hrs. at room temperature, refluxed 15 min., treated with 2N HCl gave 85% α-pyrrolidinovalerophenone-H2O.HCl (VII), m. 104-6°, then at 169-70° (anhydrous form). Epoxymethyl ether (19 g.) from treatment of VI with NaOMe 7 hrs. at 180° in an autoclave was mixed with H2O, the mixture extracted with C6H6, acidified, and evaporated to give 16 g. VII. α-Pyrrolidinoisovaleric acid amide (14 g.) in 150 ml. dioxane added with cooling to PhMgBr in Et2O, the mixture refluxed with 10 hrs., and the product decomposed in ice and HCl gave 17 g. VII. 1-Phenyl-2-pyrrolidino-1-pentanol (19 g.) in 50 ml. H2O and 6 ml. concentrated H2SO4 stirred 3 hrs. at room temperature with 10 g. Na2Cr2O7, in 50 ml. H2O and 15 ml. concentrated H2SO4 gave 15 g. VII. A process for preparing tablets containing II was described.

IT 14530-33-7, Valerophenone, 2-(1-pyrrolidinyl)-
(derivs.)

RN 14530-33-7 CAPLUS

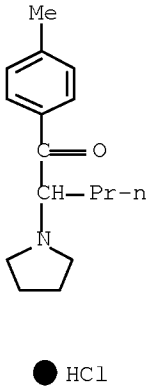
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



IT 1147-62-2P, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)-, hydrochloride 3563-49-3P, Valerophenone, 4'-methyl-2-(1-pyrrolidinyl)- 5485-65-4P, Valerophenone, 2-(1-pyrrolidinyl)-, hydrochloride 5537-17-7P, Valerophenone, 4'-chloro-2-(1-pyrrolidinyl)-, hydrochloride 5537-19-9P, Valerophenone, 4'-methoxy-2-(1-pyrrolidinyl)-, hydrochloride 5881-77-6P, Valerophenone, 4'-chloro-2-(1-pyrrolidinyl)- 14530-33-7P, Valerophenone, 2-(1-pyrrolidinyl)- 14979-97-6P, Valerophenone, 4'-methoxy-2-(1-pyrrolidinyl)-
RL: PREP (Preparation)
(preparation of)

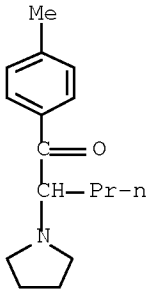
RN 1147-62-2 CAPLUS

CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)

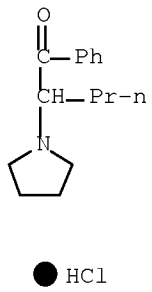


RN 3563-49-3 CAPLUS

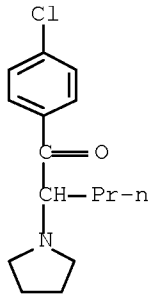
CN 1-Pentanone, 1-(4-methylphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



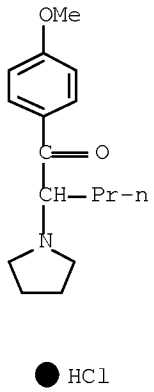
RN 5485-65-4 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



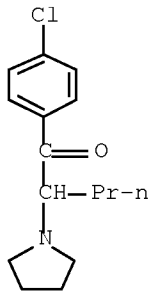
RN 5537-17-7 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



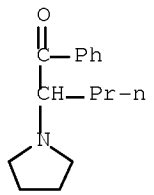
RN 5537-19-9 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)-, hydrochloride (1:1) (CA INDEX NAME)



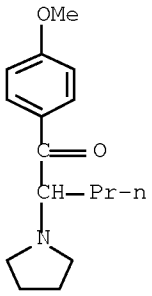
RN 5881-77-6 CAPLUS
CN 1-Pentanone, 1-(4-chlorophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14530-33-7 CAPLUS
CN 1-Pentanone, 1-phenyl-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 14979-97-6 CAPLUS
CN 1-Pentanone, 1-(4-methoxyphenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



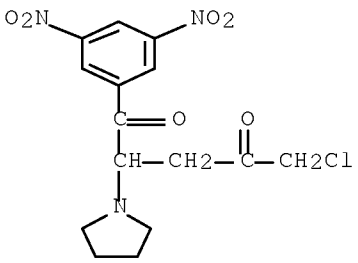
L4 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1952:60683 CAPLUS Full-text
DOCUMENT NUMBER: 46:60683
ORIGINAL REFERENCE NO.: 46:10161a-i
TITLE: An antimalarial alkaloid from hydrangea. V. Some 3-(β-keto-sec-aminoalkyl)-4-quinazolones
AUTHOR(S): Ablondi, Frank; Gordon, Samuel; Morton, John, II; Williams, J. H.
CORPORATE SOURCE: Am. Cyanamid Co., Pearl River, NY
SOURCE: Journal of Organic Chemistry (1952), 17, 52-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB To determine the position of the NH group with respect to the CO group in the side chain of the hydrangea alkaloid some 3-(β-oxo-sec-aminoalkyl)-4(3H)-quinazolones, o-C6H4.CO.N(CH2COR).CH:N (I) are prepared, by 3 general methods. (A) Adding 10.4 cc. BzCl to a stirred solution of 12.4 g. 2-piperidineacetic acid in 205 cc. N NaOH at 25-35°, then, after 12 min., another 205 cc. N NaOH and 10.4 cc. BzCl, stirring the mixture 35 min., acidifying it, extracting with CHCl3, and recrystg. the residue of the extract give 74% 1-benzoyl-2-piperidineacetic acid (II), m. 144-5°. (B) The 1-(3,5-dinitrobenzoyl) acids are prepared according to Saunders (C.A. 33, 160.5). (C) Treating 5 g. II in 25 cc. AcCl 20 min. with 4.5 g. PCl5, evaporating the mixture in vacuo at 45-50°, and finally by repeated distillation with 50 cc. PhMe, adding the crude acid chloride in 25 cc. C6H6 to CH2N2 [from 10.5 g. MeN(NO)CONH2] in ice-cold ether, keeping the mixture 1 h. at 20°, destroying the excess CH2N2 with 5 cc. AcOH, treating the mixture with 21 cc. 30% HBr-AcOH 5 min., washing the solution with NaHCO3, and evaporating in vacuo give 80% oily 1-benzoyl-2-(3-bromoacetonyl)piperidine (III). Shaking 5.4 g. 1-(3,5-dinitrobenzoyl)-3-piperidinecarboxylic acid, 16 g. ether containing 0.5% C5H5N, and 32 cc. SOCl2 35 min., evaporating the filtered solution in vacuo (50°) to dryness, and treating the residue with CH2N2 give 66% 1-(3,5-dinitrobenzoyl)-3-(diazoacetyl)-piperidine, m. 102-5°. Heating 8.8 g. 1-benzoyl-3-carbomethoxy-4-piperidinol (m. 136-8°) 4 min. with 26 cc. 10% NaOH on a steam bath, saturating the acidified solution with NaCl, and extracting with EtOAc give 1-benzoyl-4-hydroxy-3-piperidine- carboxylic acid (IV), m. 162-4°. Heating 4.2 g. IV in 21 cc. Ac2O 1 h. on a steam bath, cautiously adding 21 cc. H2O, heating the mixture another 10 min., evaporating the solution in vacuo to dryness, and crystallizing the residue from C6H6 give 99% 4-Ac derivative, m. 193-5° (anilide, method C, 64%, m. 122-4°). The substituted acids, R CO2H, and substituted halomethyl ketones, R CO CH2X, listed in table C have been prepared I Table C; RCO2H, RCOCH2X; Method, Yield,, M.p.,, Yield,, M.p.,; R,

used, %, °C., X, %, °C.; CH2.(CH2)2.CH2.NBz.CHCH2, A, 74, 144-5, Br, 80, oil; CH2.(CH2)2.CH2.NR'.CHCH2a, B, 32, 204-7, Cl, 69, 107-11; Anilide, C, 66, 189-91, Br, 42, 90-3; L-CH2.CH2.CH2.NBz.CH, A, 94, 154-6, Br, 72, oil; L-CH2.CH2.CH2.NR'.CHa, B, 88, 153-5, Cl, 21, 130-2; Anilide, C, 68, 151-3, Br, 27, 110-12; CH2.CH2.CH2.NR'.CH2.CH, B, 56, 215-17, N2c, 66, 110-12b; (a) R' = 3,5-(O2N)C6H3CO; (b) decompose; (c) RCOCHN2. are prepared according to the following 2 methods: (D) III (4.6 g.) in 46 cc. MeOH is kept 1 h. with 1.8 g. 4(3H)-quinazolone in 13 cc. N MeONa in MeOH, the solution diluted with 200 cc. ice-H2O and 80 cc. 10% NaOH extracted with CHCl3, and the residue of the evaporated extract treated with HCl in absolute EtOH, giving 78% 3-[2-oxo-3-(1-benzoyl-2-piperidyl)propyl]-4(3H)-quinazolone-HCl (V), m. 195-6°. (E) V (4 g.) is refluxed 7 h. with 40 cc. 6 N HCl, the cooled filtered solution evaporated to dryness, and the residue treated with HClEtOH, giving 74% 3-[2-keto-3-(2-piperidyl)propyl]-4(3H)-quinazolone- 2HCl.H2O, m. 228-30° (decomposition). Other I are listed in table D.

IT 1081543-50-1P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(An antimalarial alkaloid from hydrangea. V. Some 3-(β-keto-sec-aminoalkyl)-4-quinazolones)

RN 1081543-50-1 CAPLUS

CN 1,4-Pentanedione, 5-chloro-1-(3,5-dinitrophenyl)-2-(1-pyrrolidinyl)- (CA INDEX NAME)



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